Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1617sxw

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	29	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data
NEWS	30	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	31	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated

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                  organizations
 NEWS 32  JUN 30  STN on the Web enhanced with new STN AnaVist
                  Assistant and BLAST plug-in
 NEWS 33  JUN 30  STN AnaVist enhanced with database content from EPFULL

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

  All use of STN is subject to the provisions of the STN Customer
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  result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:06:39 ON 10 JUL 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:06:56 ON 10 JUL 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    9 JUL 2008  HIGHEST RN 1033322-45-0
DICTIONARY FILE UPDATES:   9 JUL 2008  HIGHEST RN 1033322-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

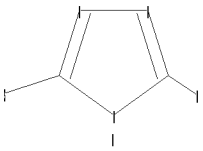
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

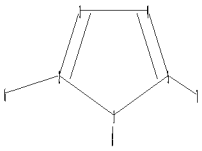
  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10509214.str
```





chain nodes :
6 7 8
ring nodes :
1 2 3 4 5
chain bonds :
1-8 2-6 5-7
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 4-5 5-7
exact bonds :
1-8

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS

L1 STRUCTURE UPLOADED

=> s l1 sam
SAMPLE SEARCH INITIATED 09:07:13 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2369 TO ITERATE

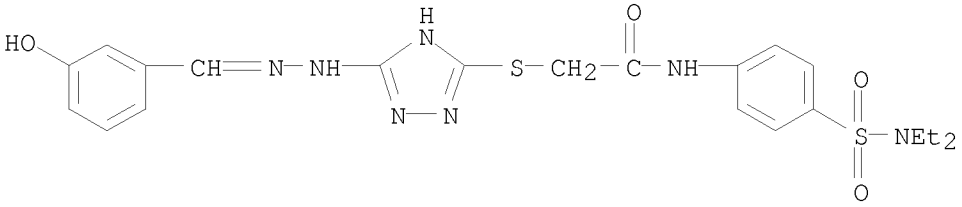
84.4% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 44461 TO 50299
PROJECTED ANSWERS: 25234 TO 29678

L2 50 SEA SSS SAM L1

=> d 50

L2 ANSWER 50 OF 50 REGISTRY COPYRIGHT 2008 ACS on STN
RN 1003701-37-8 REGISTRY
ED Entered STN: 15 Feb 2008
CN Acetamide, N-[4-[(diethylamino)sulfonyl]phenyl]-2-[[3-[2-[(3-hydroxyphenyl)methylene]hydrazinyl]-1H-1,2,4-triazol-5-yl]thio]- (CA INDEX NAME)
MF C21 H25 N7 O4 S2
SR Chemical Library
Supplier: Scientific Exchange, Inc.
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=>
Uploading C:\Program Files\Stnexp\Queries\10509214B.str

chain nodes :
6 7 8 9 10
ring nodes :
1 2 3 4 5
chain bonds :
1-8 2-6 5-7 6-9 7-10
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 2-6 3-4 4-5 5-7 6-9 7-10
exact bonds :
1-8

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS

L3 STRUCTURE UPLOADED

=> s l3 sam
SAMPLE SEARCH INITIATED 09:09:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2278 TO ITERATE

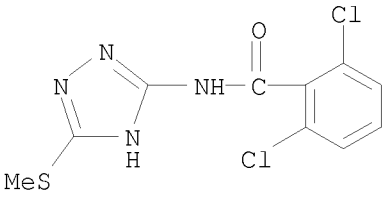
87.8% PROCESSED 2000 ITERATIONS 12 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 42697 TO 48423
PROJECTED ANSWERS: 52 TO 494

L4 12 SEA SSS SAM L3

=> d 10-12

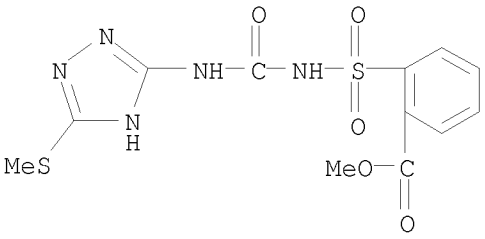
L4 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2008 ACS on STN
RN 90667-21-3 REGISTRY
ED Entered STN: 16 Nov 1984
CN Benzamide, 2,6-dichloro-N-[5-(methylthio)-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)
MF C10 H8 Cl2 N4 O S
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

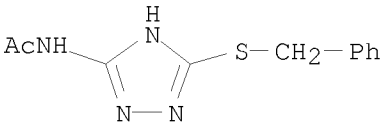
L4 ANSWER 11 OF 12 REGISTRY COPYRIGHT 2008 ACS on STN
RN 85837-88-3 REGISTRY
ED Entered STN: 16 Nov 1984
CN Benzoic acid, 2-[[[[[5-(methylthio)-1H-1,2,4-triazol-3-yl]amino]carbonyl]amino]sulfonyl]-, methyl ester (CA INDEX NAME)
MF C12 H13 N5 O5 S2
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 12 OF 12 REGISTRY COPYRIGHT 2008 ACS on STN
RN 37634-04-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN Acetamide, N-[5-[(phenylmethyl)thio]-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)
MF C11 H12 N4 O S
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l3 ful
FULL SEARCH INITIATED 09:10:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 45988 TO ITERATE

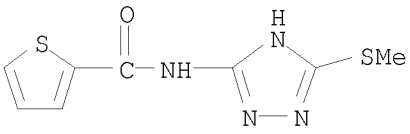
100.0% PROCESSED 45988 ITERATIONS 441 ANSWERS
SEARCH TIME: 00.00.01

L5 441 SEA SSS FUL L3

=> s l5 and thiophen?
546758 THIOPHEN?
L6 8 L5 AND THIOPHEN?

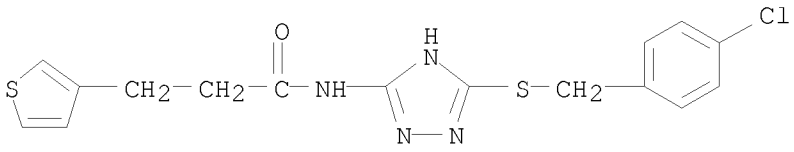
=> d 1-8

L6 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 943419-94-1 REGISTRY
ED Entered STN: 26 Jul 2007
CN 2-Thiophenecarboxamide, N-[5-(methylthio)-1H-1,2,4-triazol-3-yl]-
(CA INDEX NAME)
MF C8 H8 N4 O S2
SR Chemical Library
Supplier: LaboTest
LC STN Files: CHEMCATS



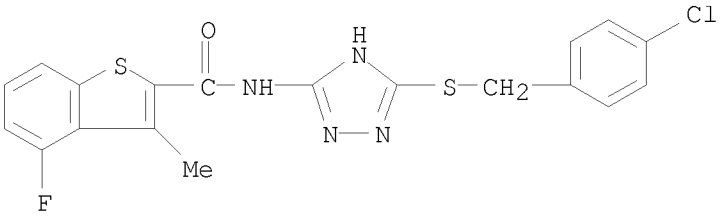
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 926766-07-6 REGISTRY
ED Entered STN: 18 Mar 2007
CN 3-Thiophenepropanamide, N-[5-[[(4-chlorophenyl)methyl]thio]-1H-1,2,4-
triazol-3-yl]- (CA INDEX NAME)
MF C16 H15 Cl N4 O S2
SR Chemical Library
Supplier: UkrOrgSynthesis
LC STN Files: CHEMCATS



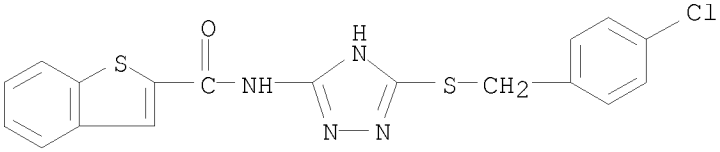
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 926742-68-9 REGISTRY
ED Entered STN: 16 Mar 2007
CN Benzo[b]thiophene-2-carboxamide, N-[5-[[(4-chlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]-4-fluoro-3-methyl- (CA INDEX NAME)
MF C19 H14 Cl F N4 O S2
SR Chemical Library
Supplier: UkrOrgSynthesis
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

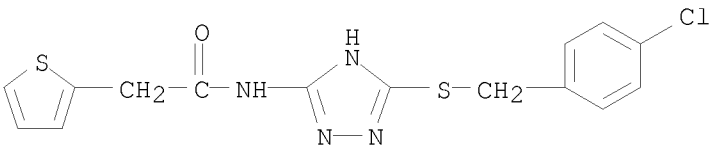
L6 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 926706-58-3 REGISTRY
ED Entered STN: 16 Mar 2007
CN Benzo[b]thiophene-2-carboxamide, N-[5-[[(4-chlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)
MF C18 H13 Cl N4 O S2
SR Chemical Library
Supplier: UkrOrgSynthesis
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

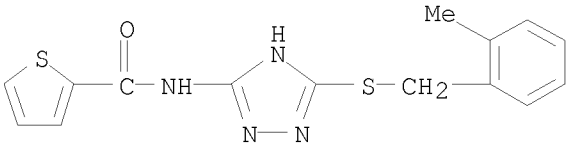
L6 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 924185-75-1 REGISTRY

ED Entered STN: 01 Mar 2007
CN 2-Thiopheneacetamide, N-[5-[[(4-chlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)
MF C15 H13 Cl N4 O S2
SR Chemical Library
      Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



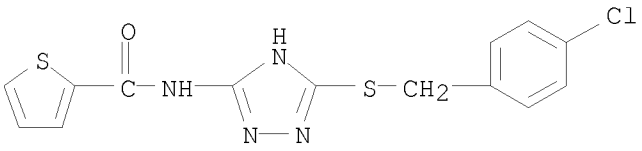
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 866011-03-2 REGISTRY
ED Entered STN: 25 Oct 2005
CN 2-Thiophenecarboxamide, N-[5-[[(2-methylphenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)
MF C15 H14 N4 O S2
SR Chemical Library
      Supplier: Interchim
LC STN Files: CHEMCATS



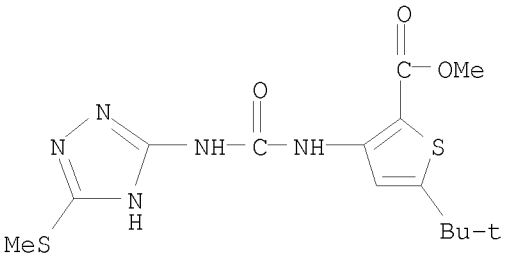
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 716318-11-5 REGISTRY
ED Entered STN: 26 Jul 2004
CN 2-Thiophenecarboxamide, N-[5-[[(4-chlorophenyl)methyl]thio]-1H-1,2,4-triazol-3-yl]- (CA INDEX NAME)
MF C14 H11 Cl N4 O S2
SR Chemical Library
      Supplier: Maybridge plc



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2008 ACS on STN
RN 389070-06-8 REGISTRY
ED Entered STN: 01 Feb 2002
CN 2-Thiophenecarboxylic acid, 5-(1,1-dimethylethyl)-3-[[[[5-
    (methylthio)-1H-1,2,4-triazol-3-yl]amino]carbonyl]amino]-, methyl ester
    (CA INDEX NAME)
MF C14 H19 N5 O3 S2
SR CA
LC STN Files: CA, CAPLUS, CASREACT



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

              1 REFERENCES IN FILE CA (1907 TO DATE)
              1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

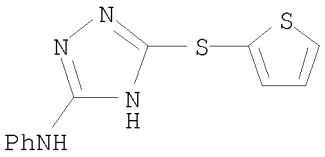
=> s 1,2,4-triazole
       1331155 1,2,4
        254228 TRIAZOLE
             1 TRIAZOLES
        254228 TRIAZOLE
                 (TRIAZOLE OR TRIAZOLES)
L7   172872 1,2,4-TRIAZOLE
                 (1,2,4(W)TRIAZOLE)

=> s l7 and anilino
         42679 ANILINO
L8   393 L7 AND ANILINO

=> s l8 and thiophen?
        546758 THIOPHEN?
L9   57 L8 AND THIOPHEN?

=> d 57

L9 ANSWER 57 OF 57 REGISTRY COPYRIGHT 2008 ACS on STN
RN 334538-68-0 REGISTRY
ED Entered STN: 03 May 2001
CN 1H-1,2,4-Triazol-3-amine, N-phenyl-5-(2-thienylthio)- (CA INDEX NAME)
OTHER NAMES:
CN 3-Anilino-5-(thiophen-2-ylthio)-1,2,4-triazole
MF C12 H10 N4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

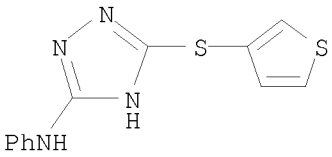


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=>
=> d 51-56

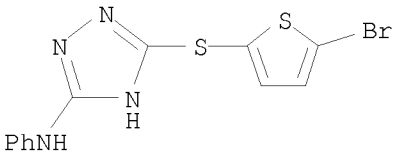
L9 ANSWER 51 OF 57 REGISTRY COPYRIGHT 2008 ACS on STN
RN 334538-86-2 REGISTRY
ED Entered STN: 03 May 2001
CN 1H-1,2,4-Triazol-3-amine, N-phenyl-5-(3-thienylthio)- (CA INDEX NAME)
OTHER NAMES:
CN 3-Anilino-5-(thiophen-3-ylthio)-1,2,4-triazole
MF C12 H10 N4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

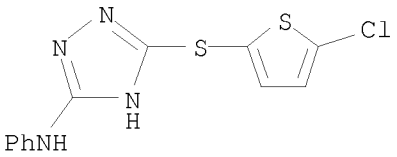
L9 ANSWER 52 OF 57 REGISTRY COPYRIGHT 2008 ACS on STN
RN 334538-84-0 REGISTRY
ED Entered STN: 03 May 2001
CN 1H-1,2,4-Triazol-3-amine, 5-[(5-bromo-2-thienyl)thio]-N-phenyl- (CA INDEX NAME)
OTHER NAMES:
CN 3-Anilino-5-(5-bromothiophen-2-ylthio)-1,2,4-triazole
MF C12 H9 Br N4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

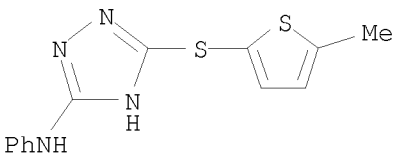
L9 ANSWER 53 OF 57 REGISTRY COPYRIGHT 2008 ACS on STN
RN 334538-82-8 REGISTRY
ED Entered STN: 03 May 2001
CN 1H-1,2,4-Triazol-3-amine, 5-[(5-chloro-2-thienyl)thio]-N-phenyl- (CA INDEX NAME)
OTHER NAMES:
CN 3-Anilino-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole
MF C12 H9 Cl N4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

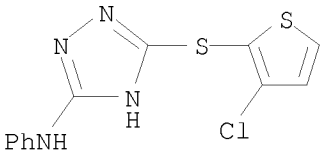
L9 ANSWER 54 OF 57 REGISTRY COPYRIGHT 2008 ACS on STN
RN 334538-81-7 REGISTRY
ED Entered STN: 03 May 2001
CN 1H-1,2,4-Triazol-3-amine, 5-[(5-methyl-2-thienyl)thio]-N-phenyl- (CA INDEX NAME)
OTHER NAMES:
CN 3-Anilino-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole
MF C13 H12 N4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

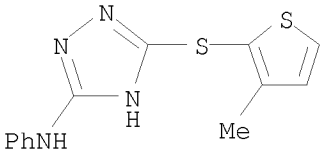
L9 ANSWER 55 OF 57 REGISTRY COPYRIGHT 2008 ACS on STN
RN 334538-80-6 REGISTRY
ED Entered STN: 03 May 2001
CN 1H-1,2,4-Triazol-3-amine, 5-[(3-chloro-2-thienyl)thio]-N-phenyl- (CA INDEX NAME)
OTHER NAMES:
CN 3-Anilino-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole
MF C12 H9 Cl N4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L9 ANSWER 56 OF 57 REGISTRY COPYRIGHT 2008 ACS on STN
RN 334538-79-3 REGISTRY
ED Entered STN: 03 May 2001
CN 1H-1,2,4-Triazol-3-amine, 5-[(3-methyl-2-thienyl)thio]-N-phenyl- (CA INDEX NAME)
OTHER NAMES:
CN 3-Anilino-5-(3-methylthiophen-2-ylthio)-1,2,4-triazole
MF C13 H12 N4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L2           50 S L1 SAM
L3              STRUCTURE UPLOADED
L4           12 S L3 SAM
L5          441 S L3 FUL
L6            8 S L5 AND THIOPHEN?
L7       172872 S 1,2,4-TRIAZOLE
L8          393 S L7 AND ANILINO
L9           57 S L8 AND THIOPHEN?

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COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 259.59     259.80

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=> s l9
L10          2 L9

=> d ibib abs 1-2

L10  ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2002:793578  CAPLUS
DOCUMENT NUMBER:          137:289052
TITLE:                    Method for inhibiting methionine aminopeptidase type 2
                          (MetAP2), and inhibitor identification methods
INVENTOR(S):              Marino, Joseph P., Jr.; Ryan, M. Dominic; Smith, Ward
                          W.; Thompson, Scott K.
PATENT ASSIGNEE(S):       Smithkline Beecham Corporation, USA
SOURCE:                   PCT Int. Appl., 789 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
     WO 2002081415         A2    20021017    WO 2002-US9458          20020328
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002306907         A1    20021021    AU 2002-306907          20020328
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JP 2004535377	T	20041125	JP 2002-579403		20020328
PRIORITY APPLN. INFO.:			US 2001-281221P	P	20010403
			WO 2002-US9458	W	20020328

AB Methods are disclosed for identifying inhibitors of hMetAP2 and for inhibiting hMetAP2 using inhibitors with certain structural, phys. and spatial characteristics. Preparation of triazole derivative inhibitors is also described.

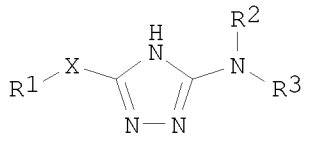
L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:265251 CAPLUS
DOCUMENT NUMBER: 134:295827
TITLE: 3-Anilino-5-benzylthio-1,2,4-triazoles and analogous compounds and methods of use as inhibitors of type 2 methionine aminopeptidase (MetAP2)
INVENTOR(S): Marino, Joseph P., Jr.; Thompson, Scott K.; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001024796	A1	20010412	WO 2000-US26951	20000929
W: AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1223932	A1	20020724	EP 2000-970527	20000929
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510359	T	20030318	JP 2001-527795	20000929
US 20050267185	A1	20051201	US 2005-186519	20050721
US 7304082	B2	20071204		

PRIORITY APPLN. INFO.:			US 1999-157286P	P	19991001
			WO 2000-US26951	W	20000929
			US 2002-89433	A1	20020329

OTHER SOURCE(S): MARPAT 134:295827
GI



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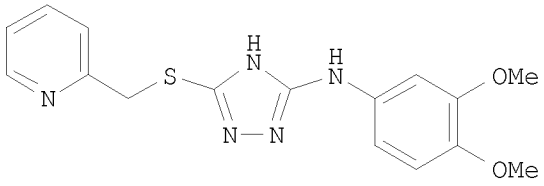


II

AB The compds. of the invention are non-peptide, reversible inhibitors of type 2 methionine aminopeptidase (MetAP2), and are useful in treating conditions mediated by angiogenesis, such as cancer, hemangioma, proliferative retinopathy, rheumatoid arthritis, atherosclerotic neovascularization, psoriasis, ocular neovascularization, and obesity. In particular, the method of inhibiting MetAP2 with triazoles I and their pharmaceutically acceptable salts and solvates is claimed [wherein: X = S or O; R1 = (un)substituted C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aralkyl, (un)substituted heterocyclylalkyl, or cycloalkylalkyl; R2 = (un)substituted C2-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aralkyl, (un)substituted heterocyclylalkyl, cycloalkylalkyl; R3 = H, (un)substituted C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aralkyl, (un)substituted heterocyclylalkyl, cycloalkylalkyl, alkyl-C(O)-X'AB, alkyl-S(0)2X'AB, alkyl-X'AB; X' = O, S, C or N; A, B = H, (un)substituted C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, (un)substituted aralkyl, (un)substituted heterocyclylalkyl, cycloalkylalkyl; A and/or B may be absent]. A total of 312 synthetic examples are given. For instance, treatment of thiourea with NaOH and then Ph isothiocyanate gave 1-phenyl-2,4-dithiobiuret, i.e., PhNHC(:S)NHC(:S)NH2, which reacted with NEt3 and EtI in DMF to give 2-ethyl-1-phenyl-2-isodithiobiuret, i.e., PhNHC(SEt):NC(:S)NH2. Cyclocondensation of the latter with anhydrous hydrazine gave 3-anilino-5-mercapto-1,2,4-triazole, which reacted with K2CO3 and benzyl bromide in DMF to give the invention compound 3-anilino-5-benzylthio-1,2,4-triazole. Using analogous substituted starting materials, more highly substituted invention compds. such as II were prepared The compds. have IC50 values of 0.0001-100 μM against MetAP2.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d it 2

L10 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

IT Antiarteriosclerotics
(antiatherosclerotics; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT Blood vessel, neoplasm
(hemangioma, treatment; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT Angiogenesis
(neovascularization, eye, treatment; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT Eye, disease
(neovascularization, treatment; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT Angiogenesis inhibitors
Antiarthritics
Antiobesity agents
Antitumor agents
(preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT Eye, disease
(proliferative retinopathy, treatment; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT Psoriasis
(treatment; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT 4288-96-4P, 3-(4-Methylanilino)-5-benzylthio-1,2,4-triazole
334539-43-4P, 3-(4-Methoxyanilino)-5-benzylthio-1,2,4-triazole
334541-37-6P, 3-(2,6-Dimethylanilino)-5-benzylthio-1,2,4-triazole

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT 3922-44-9P, 3-Anilino-5-benzylthio-1,2,4-triazole 334538-50-0P, 3-Anilino-5-(4-chlorobenzylthio)-1,2,4-triazole 334538-51-1P, 3-Anilino-5-methylthio-1,2,4-triazole 334538-52-2P, 3-Anilino-5-allylthio-1,2,4-triazole 334538-53-3P, 3-Anilino-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334538-54-4P, 3-Anilino-5-(2-methylbutylthio)-1,2,4-triazole 334538-55-5P, 3-Anilino-5-(2-methyl-2-pentenylthio)-1,2,4-triazole 334538-56-6P, 3-Anilino-5-(α-methylbenzylthio)-1,2,4-triazole 334538-57-7P, 3-Anilino-5-(cyclohexylmethylthio)-1,2,4-triazole 334538-58-8P, 3-Anilino-5-[[(propoxycarbonyl)methyl]thio]-1,2,4-triazole 334538-59-9P, 3-Anilino-5-(3,3-dimethoxypropylthio)-1,2,4-triazole 334538-60-2P, 3-Anilino-5-(2-phenylethylthio)-1,2,4-triazole 334538-61-3P, 3-Anilino-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334538-62-4P, 3-Anilino-5-[[(3-phenyl-1,2,4-oxadiazol-5-yl)methyl]thio]-1,2,4-triazole 334538-63-5P, 3-Anilino-5-(1H-benzimidazol-2-ylmethylthio)-1,2,4-triazole 334538-64-6P, 3-Anilino-5-[[2-(4-chlorophenyl)thiazol-4-ylmethyl]thio]-1,2,4-triazole 334538-65-7P, 3-Anilino-5-[[(2-methylthiazol-4-yl)methyl]thio]-1,2,4-triazole 334538-66-8P, 3-Anilino-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334538-67-9P, 3-Anilino-5-(pyridin-4-ylmethylthio)-1,2,4-triazole 334538-68-0P, 3-Anilino-5-(thiophen-2-ylthio)-1,2,4-triazole 334538-69-1P, 3-Anilino-5-(4-i-propylbenzylthio)-1,2,4-triazole 334538-70-4P, 3-Anilino-5-(quinolin-8-ylthio)-1,2,4-triazole 334538-71-5P, 3-Anilino-5-(4-acetamidobenzylthio)-1,2,4-triazole 334538-72-6P, 4-(5-Anilino-2H-[1,2,4]triazol-3-ylthio)benzoic acid 334538-73-7P, 3-Anilino-5-(2-methylbenzylthio)-1,2,4-triazole 334538-74-8P, 3-Anilino-5-(4-trifluoromethylbenzylthio)-1,2,4-triazole 334538-75-9P, 3-Anilino-5-(3,5-dimethylbenzylthio)-1,2,4-triazole 334538-76-0P, 3-Anilino-5-(4-cyanobenzylthio)-1,2,4-triazole 334538-77-1P, 3-Anilino-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334538-78-2P, 3-Anilino-5-(furan-2-ylthio)-1,2,4-triazole 334538-79-3P, 3-Anilino-5-(3-methylthiophen-2-ylthio)-1,2,4-triazole 334538-80-6P, 3-Anilino-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole 334538-81-7P, 3-Anilino-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole 334538-82-8P, 3-Anilino-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334538-83-9P, 5-[[[5-(Phenylamino)-4H-1,2,4-triazol-3-yl]sulfanyl]methyl]furan-2-carboxylic acid ethyl ester 334538-84-0P, 3-Anilino-5-(5-bromothiophen-2-ylthio)-1,2,4-triazole 334538-85-1P, 5-[[[5-(Phenylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carbaldehyde 334538-86-2P, 3-Anilino-5-(thiophen-3-ylthio)-1,2,4-triazole 334538-87-3P, 3-Anilino-5-(furan-3-ylthio)-1,2,4-triazole 334538-88-4P, 3-(4-Methylanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334538-89-5P, 3-(4-Methylanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334538-90-8P, 3-(4-Methylanilino)-5-(pyridin-4-ylmethylthio)-1,2,4-triazole 334538-91-9P, 3-(4-Methylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334538-92-0P, 3-(4-Methylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334538-93-1P, 3-(4-Methylanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334538-94-2P, 3-(4-Methylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334538-95-3P, 3-(4-Methylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334538-96-4P, 3-(4-Methylanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334538-97-5P, 3-(4-Methylanilino)-5-[[(2-methylthiazol-4-yl)methyl]thio]-1,2,4-triazole 334538-98-6P, 3-(4-Methylanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334538-99-7P, 3-(4-Methylanilino)-5-(furan-2-ylthio)-1,2,4-triazole 334539-00-3P, 3-(4-Methylanilino)-5-(3-

methylthiophen-2-ylthio)-1,2,4-triazole 334539-01-4P, 3-(4-Methylanilino)-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole 334539-02-5P, 3-(4-Methylanilino)-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole 334539-03-6P, 3-(4-Methylanilino)-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334539-04-7P, 5-[[[5-(p-Tolylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carboxylic acid ethyl ester 334539-05-8P, 3-(4-Methylanilino)-5-(5-bromothiophen-2-ylthio)-1,2,4-triazole 334539-06-9P, 5-[[[5-(p-Tolylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carbaldehyde 334539-07-0P, 3-(4-Methylanilino)-5-(thiophen-3-ylthio)-1,2,4-triazole 334539-08-1P, 3-(4-Methylanilino)-5-(furan-3-ylthio)-1,2,4-triazole 334539-09-2P, 3-(2-Methylanilino)-5-benzylthio-1,2,4-triazole 334539-10-5P, 3-(2-Methylanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334539-11-6P, 3-(2-Methylanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334539-12-7P, 3-(2-Methylanilino)-5-(pyridin-4-ylmethylthio)-1,2,4-triazole 334539-13-8P, 3-(2-Methylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334539-14-9P, 3-(2-Methylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334539-15-0P, 3-(2-Methylanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334539-16-1P, 3-(2-Methylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334539-17-2P, 3-(2-Methylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334539-18-3P, 3-(2-Methylanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334539-19-4P, 3-(2-Methylanilino)-5-[[(2-methylthiazol-4-yl)methyl]thio]-1,2,4-triazole 334539-20-7P, 3-(2-Methylanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334539-21-8P, 3-(2-Methylanilino)-5-(furan-2-ylthio)-1,2,4-triazole 334539-22-9P, 3-(2-Methylanilino)-5-(3-methylthiophen-2-ylthio)-1,2,4-triazole 334539-23-0P, 3-(2-Methylanilino)-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole 334539-24-1P, 3-(2-Methylanilino)-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole 334539-25-2P, 3-(2-Methylanilino)-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334539-26-3P, 5-[[[5-(o-Tolylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carboxylic acid ethyl ester 334539-27-4P, 3-(2-Methylanilino)-5-(5-bromothiophen-2-ylthio)-1,2,4-triazole 334539-28-5P, 5-[[[5-(o-Tolylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carbaldehyde 334539-29-6P, 3-(2-Methylanilino)-5-(thiophen-3-ylthio)-1,2,4-triazole 334539-30-9P, 3-(2-Methylanilino)-5-(furan-3-ylthio)-1,2,4-triazole 334539-31-0P, 3-(4-Chloroanilino)-5-benzylthio-1,2,4-triazole 334539-32-1P, 3-(4-Chloroanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334539-33-2P, 3-(4-Chloroanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334539-34-3P, 3-(4-Chloroanilino)-5-(pyridin-4-ylmethylthio)-1,2,4-triazole 334539-35-4P, 3-(4-Chloroanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334539-36-5P, 3-(4-Chloroanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334539-37-6P, 3-(4-Chloroanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334539-38-7P, 3-(4-Chloroanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334539-39-8P, 3-(4-Chloroanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334539-40-1P, 3-(4-Chloroanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334539-41-2P, 3-(4-Chloroanilino)-5-[[(2-methylthiazol-4-yl)methyl]thio]-1,2,4-triazole 334539-42-3P, 3-(4-Chloroanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334539-44-5P, 3-(4-Methoxyanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334539-45-6P, 3-(4-Methoxyanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334539-46-7P, 3-(4-Methoxyanilino)-5-(pyridin-4-ylmethylthio)-1,2,4-triazole 334539-47-8P, 3-(4-Methoxyanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334539-48-9P, 3-(4-Methoxyanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334539-49-0P, 3-(4-Methoxyanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334539-50-3P, 3-(4-Methoxyanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334539-51-4P, 3-(4-Methoxyanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334539-52-5P, 3-(4-Methoxyanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334539-53-6P, 3-(4-Methoxyanilino)-

5-[[(2-methylthiazol-4-yl)methyl]thio]-1,2,4-triazole 334539-54-7P, 3-(4-Methoxyanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334539-55-8P, 3-(4-Methoxyanilino)-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole 334539-56-9P, 3-(4-Methoxyanilino)-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334539-57-0P, 4-(5-Benzylthio-1H-[1,2,4]triazol-3-ylamino)benzoic acid methyl ester 334539-58-1P, 4-[[5-[(Cyclohexylmethyl)thio]-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-59-2P, 4-[[5-[(Pyridin-4-ylmethyl)thio]-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-60-5P, 4-[[5-[(2-Methyl-2-butenyl)thio]-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-61-6P, 4-[[5-(2-Fluorobenzylthio)-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-62-7P, 4-[[5-[[(5-Methylisoxazol-3-yl)methyl]thio]-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-63-8P, 4-[[5-(2-Methylbenzylthio)-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-64-9P, 4-[[5-(3-Methoxybenzylthio)-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-65-0P, 4-[[5-(3,4-Difluorobenzylthio)-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-66-1P, 4-[[5-(2-Methoxybenzylthio)-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-67-2P, 4-[[5-[[(2-Methylthiazol-4-yl)methyl]thio]-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-68-3P, 4-[[5-(Pyridin-2-ylmethylthio)-1H-[1,2,4]triazol-3-yl]amino]benzoic acid methyl ester 334539-69-4P, 3-(3,4-Dimethoxyanilino)-5-benzylthio-1,2,4-triazole 334539-70-7P, 3-(3,4-Dimethoxyanilino)-5-(3-methoxybenzylthio)-1,2,4-triazole 334539-71-8P, 3-(3,4-Dimethoxyanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334539-72-9P, 3-(3,4-Dimethoxyanilino)-5-(pyridin-4-ylmethylthio)-1,2,4-triazole 334539-73-0P, 3-(3,4-Dimethoxyanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334539-74-1P, 3-(3,4-Dimethoxyanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334539-75-2P, 3-(3,4-Dimethoxyanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334539-76-3P, 3-(3,4-Dimethoxyanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334539-77-4P, 3-(3,4-Dimethoxyanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334539-78-5P, 3-(3,4-Dimethoxyanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334539-79-6P, 3-(3,4-Dimethoxyanilino)-5-[[(2-methylthiazol-4-yl)methyl]thio]-1,2,4-triazole 334539-80-9P, 3-(3,4-Dimethoxyanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334539-81-0P, 3-(3,4-Dimethoxyanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334539-82-1P, 3-(2-Phenylanilino)-5-benzylthio-1,2,4-triazole 334539-83-2P, 3-(2-Phenylanilino)-5-(3-methoxybenzylthio)-1,2,4-triazole 334539-84-3P, 3-(2-Phenylanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334539-85-4P, 3-(2-Phenylanilino)-5-(pyridin-4-ylmethylthio)-1,2,4-triazole 334539-86-5P, 3-(2-Phenylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334539-87-6P, 3-(2-Phenylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334539-88-7P, 3-(2-Phenylanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334539-89-8P, 3-(2-Phenylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334539-90-1P, 3-(2-Phenylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334539-91-2P, 3-(2-Phenylanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334539-92-3P, 3-(2-Phenylanilino)-5-[[(2-methylthiazol-4-yl)methyl]thio]-1,2,4-triazole 334539-93-4P, 3-(2-Phenylanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334539-94-5P, [5-(Benzylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334539-95-6P, [5-(3-Methoxybenzylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334539-96-7P, [5-(Cyclohexylmethylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334539-97-8P, [5-(Pyridin-4-ylmethylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334539-98-9P, [5-(2-Methyl-2-butenylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334539-99-0P, [5-(2-Fluorobenzylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334540-00-0P, [5-[[(5-Methylisoxazol-3-yl)methyl]thio]-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334540-01-1P, [5-(2-Methylbenzylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine

334540-02-2P, [5-(3,4-Difluorobenzylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334540-03-3P, [5-(2-Methoxybenzylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334540-04-4P, [5-(Pyridin-2-ylmethylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334540-05-5P, [5-[[(2-Methylthiazol-4-yl)methyl]thio]-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334540-07-7P, [5-(Thiophen-2-ylthio)-1H-[1,2,4]triazol-3-yl](pyridin-3-yl)amine 334540-09-9P, 3-(2-Ethylanilino)-5-benzylthio-1,2,4-triazole 334540-11-3P, 3-(2-Ethylanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334540-13-5P, 3-(2-Ethylanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334540-15-7P, 3-(2-Ethylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334540-17-9P, 3-(2-Ethylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334540-18-0P, 3-(2-Ethylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334540-19-1P, 3-(2-Ethylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334540-20-4P, 3-(2-Ethylanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334540-21-5P, 3-(2-Ethylanilino)-5-(4-methoxybenzylthio)-1,2,4-triazole 334540-22-6P, 3-(2-Ethylanilino)-5-(3,4-methylenedioxybenzylthio)-1,2,4-triazole 334540-23-7P, 3-(2-Ethylanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334540-24-8P, 3-(2-Ethylanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334540-25-9P, 3-(2-Ethylanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334540-26-0P, 3-(2-Methoxyanilino)-5-benzylthio-1,2,4-triazole 334540-27-1P, 3-(2-Methoxyanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334540-28-2P, 3-(2-Methoxyanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334540-29-3P, 3-(2-Methoxyanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334540-30-6P, 3-(2-Methoxyanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334540-31-7P, 3-(2-Methoxyanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334540-32-8P, 3-(2-Methoxyanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334540-33-9P, 3-(2-Methoxyanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334540-34-0P, 3-(2-Methoxyanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334540-35-1P, 3-(2-Methoxyanilino)-5-(4-methoxybenzylthio)-1,2,4-triazole 334540-36-2P, 3-(2-Methoxyanilino)-5-(3,4-methylenedioxybenzylthio)-1,2,4-triazole 334540-37-3P, 3-(2-Methoxyanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334540-38-4P, 3-(2-Methoxyanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334540-39-5P, 3-(2-Methoxyanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334540-40-8P, 3-(2-Methoxyanilino)-5-(furan-2-ylthio)-1,2,4-triazole 334540-41-9P, 3-(2-Methoxyanilino)-5-(3-methylthiophen-2-ylthio)-1,2,4-triazole 334540-42-0P, 3-(2-Methoxyanilino)-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole 334540-43-1P, 3-(2-Methoxyanilino)-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole 334540-44-2P, 3-(2-Methoxyanilino)-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334540-45-3P, 5-[[[5-(2-Methoxyphenylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carboxylic acid ethyl ester 334540-46-4P, 3-(2-Methoxyanilino)-5-(5-bromothiophen-2-ylthio)-1,2,4-triazole 334540-47-5P, 3-(2-Methoxyanilino)-5-(thiophen-3-ylthio)-1,2,4-triazole 334540-48-6P, 3-(2-Methoxyanilino)-5-(furan-3-ylthio)-1,2,4-triazole 334540-49-7P, 3-(2-Isopropylanilino)-5-benzylthio-1,2,4-triazole 334540-50-0P, 3-(2-Isopropylanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334540-51-1P, 3-(2-Isopropylanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334540-52-2P, 3-(2-Isopropylanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334540-53-3P, 3-(2-Isopropylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334540-54-4P, 3-(2-Isopropylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334540-55-5P, 3-(2-Isopropylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334540-56-6P, 3-(2-Isopropylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334540-57-7P, 3-(2-Isopropylanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334540-58-8P, 3-(2-Isopropylanilino)-5-(4-methoxybenzylthio)-1,2,4-triazole 334540-59-9P, 3-(2-Isopropylanilino)-5-(3,4-methylenedioxybenzylthio)-1,2,4-triazole 334540-60-2P, 3-(2-Isopropylanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334540-61-3P, 3-(2-Isopropylanilino)-5-

(pyridin-2-ylmethylthio)-1,2,4-triazole 334540-62-4P, 3-(2-Isopropylanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334540-63-5P, 3-(2-Isopropylanilino)-5-(furan-2-ylthio)-1,2,4-triazole 334540-64-6P, 3-(2-Isopropylanilino)-5-(3-methylthiophen-2-ylthio)-1,2,4-triazole 334540-65-7P, 3-(2-Isopropylanilino)-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole 334540-66-8P, 3-(2-Isopropylanilino)-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole 334540-67-9P, 3-(2-Isopropylanilino)-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334540-68-0P, 5-[[[5-(2-Isopropylphenylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carboxylic acid ethyl ester 334540-69-1P, 5-[[[5-(2-Isopropylanilino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carbaldehyde 334540-70-4P, 3-(2-Isopropylanilino)-5-(thiophen-3-ylthio)-1,2,4-triazole 334540-71-5P, 3-(2-Isopropylanilino)-5-(furan-3-ylthio)-1,2,4-triazole 334540-72-6P, 3-(3-Methylanilino)-5-benzylthio-1,2,4-triazole 334540-73-7P, 3-(3-Methylanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334540-74-8P, 3-(3-Methylanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334540-75-9P, 3-(3-Methylanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334540-76-0P, 3-(3-Methylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334540-77-1P, 3-(3-Methylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334540-78-2P, 3-(3-Methylanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334540-79-3P, 3-(3-Methylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334540-80-6P, 3-(3-Methylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334540-81-7P, 3-(3-Methylanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334540-82-8P, 3-(3-Methylanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334540-83-9P, 3-(3-Methylanilino)-5-(4-methoxybenzylthio)-1,2,4-triazole 334540-84-0P, 3-(3-Methylanilino)-5-(3,4-methylenedioxybenzylthio)-1,2,4-triazole 334540-85-1P, 3-(3-Methylanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334540-86-2P, 3-(3-Methylanilino)-5-(furan-2-ylthio)-1,2,4-triazole 334540-87-3P, 3-(3-Methylanilino)-5-(3-methylthiophen-2-ylthio)-1,2,4-triazole 334540-88-4P, 3-(3-Methylanilino)-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT 334540-89-5P, 3-(3-Methylanilino)-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole 334540-90-8P, 3-(3-Methylanilino)-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334540-91-9P, 5-[[[5-(3-Methylphenylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carboxylic acid ethyl ester 334540-92-0P, 3-(3-Methylanilino)-5-(5-bromothiophen-2-ylthio)-1,2,4-triazole 334540-93-1P, 5-[[[5-(3-Methylphenylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carbaldehyde 334540-94-2P, 3-(3-Methylanilino)-5-(thiophen-3-ylthio)-1,2,4-triazole 334540-95-3P, 3-(3-Methylanilino)-5-(furan-3-ylthio)-1,2,4-triazole 334540-96-4P, 3-(4-n-Butylanilino)-5-benzylthio-1,2,4-triazole 334540-97-5P, 3-(4-n-Butylanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334540-98-6P, 3-(4-n-Butylanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334540-99-7P, 3-(4-n-Butylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334541-00-3P, 3-(4-n-Butylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334541-01-4P, 3-(4-n-Butylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334541-02-5P, 3-(4-n-Butylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334541-03-6P, 3-(4-n-Butylanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334541-04-7P, 3-(4-n-Butylanilino)-5-(4-methoxybenzylthio)-1,2,4-triazole 334541-05-8P, 3-(4-n-Butylanilino)-5-(3,4-methylenedioxybenzylthio)-1,2,4-triazole 334541-06-9P, 3-(4-n-Butylanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334541-07-0P, 3-(4-n-Butylanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334541-08-1P, 3-(4-n-Butylanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole

334541-09-2P, 3-(2,4-Dimethoxyanilino)-5-benzylthio-1,2,4-triazole 334541-10-5P, 3-(2,4-Dimethoxyanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334541-11-6P, 3-(2,4-Dimethoxyanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334541-12-7P, 3-(2,4-Dimethoxyanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334541-13-8P, 3-(2,4-Dimethoxyanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334541-14-9P, 3-(2,4-Dimethoxyanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334541-15-0P, 3-(2,4-Dimethoxyanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334541-16-1P, 3-(2,4-Dimethoxyanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334541-17-2P, 3-(2,4-Dimethoxyanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334541-18-3P, 3-(2,4-Dimethoxyanilino)-5-(4-methoxybenzylthio)-1,2,4-triazole 334541-19-4P, 3-(2,4-Dimethoxyanilino)-5-(3,4-methylenedioxybenzylthio)-1,2,4-triazole 334541-20-7P, 3-(2,4-Dimethoxyanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334541-21-8P, 3-(2,4-Dimethoxyanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334541-22-9P, 3-(2,4-Dimethoxyanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334541-23-0P, 3-(2-Methyl-4-methoxyanilino)-5-benzylthio-1,2,4-triazole 334541-24-1P, 3-(2-Methyl-4-methoxyanilino)-5-(thiophen-2-ylthio)-1,2,4-triazole 334541-25-2P, 3-(2-Methyl-4-methoxyanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334541-26-3P, 3-(2-Methyl-4-methoxyanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334541-27-4P, 3-(2-Methyl-4-methoxyanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334541-28-5P, 3-(2-Methyl-4-methoxyanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334541-29-6P, 3-(2-Methyl-4-methoxyanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334541-30-9P, 3-(2-Methyl-4-methoxyanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334541-31-0P, 3-(2-Methyl-4-methoxyanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334541-32-1P, 3-(2-Methyl-4-methoxyanilino)-5-(4-methoxybenzylthio)-1,2,4-triazole 334541-33-2P, 3-(2-Methyl-4-methoxyanilino)-5-(3,4-methylenedioxybenzylthio)-1,2,4-triazole 334541-34-3P, 3-(2-Methyl-4-methoxyanilino)-5-[[(5-methylisoxazol-3-yl)methyl]thio]-1,2,4-triazole 334541-35-4P, 3-(2-Methyl-4-methoxyanilino)-5-(pyridin-2-ylmethylthio)-1,2,4-triazole 334541-36-5P, 3-(2-Methyl-4-methoxyanilino)-5-(2-methoxybenzylthio)-1,2,4-triazole 334541-38-7P, 3-(2,6-Dimethylanilino)-5-(4-fluorobenzylthio)-1,2,4-triazole 334541-39-8P, 3-(2,6-Dimethylanilino)-5-(cyclohexylmethylthio)-1,2,4-triazole 334541-41-2P, 3-(2,6-Dimethylanilino)-5-(3,4-difluorobenzylthio)-1,2,4-triazole 334541-44-5P, 3-(2,6-Dimethylanilino)-5-(2-methyl-2-butenylthio)-1,2,4-triazole 334541-45-6P, 3-(2,6-Dimethylanilino)-5-(2-fluorobenzylthio)-1,2,4-triazole 334541-47-8P, 3-(2,6-Dimethylanilino)-5-(2-methylbenzylthio)-1,2,4-triazole 334541-48-9P, 3-(2,6-Dimethylanilino)-5-(2-chlorobenzylthio)-1,2,4-triazole 334541-50-3P, 3-(4-Fluoroanilino)-5-(furan-2-ylthio)-1,2,4-triazole 334541-51-4P, 3-(4-Fluoroanilino)-5-(3-methylthiophen-2-ylthio)-1,2,4-triazole 334541-53-6P, 3-(4-Fluoroanilino)-5-(3-chlorothiophen-2-ylthio)-1,2,4-triazole 334541-55-8P, 3-(4-Fluoroanilino)-5-(5-methylthiophen-2-ylthio)-1,2,4-triazole 334541-56-9P, 3-(4-Fluoroanilino)-5-(5-chlorothiophen-2-ylthio)-1,2,4-triazole 334541-57-0P, 5-[[[5-(4-Fluorophenylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carboxylic acid ethyl ester 334541-58-1P, 3-(4-Fluoroanilino)-5-(5-bromothiophen-2-ylthio)-1,2,4-triazole 334541-59-2P, 5-[[[5-(4-Fluorophenylamino)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl]furan-2-carbaldehyde 334541-60-5P, 3-(4-Fluoroanilino)-5-(thiophen-3-ylthio)-1,2,4-triazole 334541-61-6P, 3-(4-Fluoroanilino)-5-(furan-3-ylthio)-1,2,4-triazole 334615-06-4P, 3-(N-Methylanilino)-5-benzylthio-1,2,4-triazole 334615-07-5P, 3-(N-Ethylanilino)-5-benzylthio-1,2,4-triazole 334615-08-6P, 3-(N-n-Propylanilino)-5-benzylthio-1,2,4-triazole 334615-09-7P, 3-(N-n-Butylanilino)-5-benzylthio-1,2,4-triazole 334615-10-0P, 3-(N-Isopropylanilino)-5-benzylthio-1,2,4-triazole 334615-11-1P, 3-(N-Allylanilino)-5-benzylthio-1,2,4-triazole

334615-12-2P, 3-(N-Benzylanilino)-5-benzylthio-1,2,4-triazole
334615-13-3P, 3-[N-[(Methoxycarbonyl)methyl]anilino]-5-benzylthio-1,2,4-triazole 334615-14-4P, 3-[N-[(Methoxycarbonyl)methyl]-p-methylanilino]-5-benzylthio-1,2,4-triazole 334615-15-5P, 3-[N-[(Methoxycarbonyl)methyl]-p-methoxyanilino]-5-benzylthio-1,2,4-triazole 334615-16-6P, 3-[N-[(Methoxycarbonyl)methyl]-2,6-dimethylanilino]-5-benzylthio-1,2,4-triazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT 6635-73-0P, 1-Phenyl-2,4-dithiobiuret 16739-02-9P, 3-Anilino-5-mercapto-1,2,4-triazole 334541-73-0P, 2-Ethyl-1-phenyl-2-isodithiobiuret 334541-74-1P, 3-Anilino-5-benzylthio-1-(ethoxymethyl)-1,2,4-triazole 334541-75-2P, 3-Anilino-5-benzylthio-2-(ethoxymethyl)-1,2,4-triazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

IT 62-56-6, Thiourea, reactions 74-88-4, Methyl iodide, reactions 75-03-6, Ethyl iodide 89-92-9, 2-Methylbenzyl bromide 96-32-2, Methyl bromoacetate 100-39-0, Benzyl bromide 103-63-9, (2-Bromoethyl)benzene 103-72-0, Phenyl isothiocyanate 106-95-6, Allyl bromide, reactions 107-08-4, 1-Iodopropane 107-82-4, 1-Bromo-3-methylbutane 302-01-2, Hydrazine, reactions 402-49-3, 4-(Trifluoromethyl)benzyl bromide 446-48-0, 2-Fluorobenzyl bromide 459-46-1, 4-Fluorobenzyl bromide 513-38-2, 1-Iodo-2-methylpropane 542-69-8, 1-Iodobutane 585-71-7, (1-Bromoethyl)benzene 611-17-6, 2-Chlorobenzyl bromide 614-69-7, o-Tolyl isothiocyanate 617-88-9, 2-Chloromethylfuran 621-30-7, m-Tolyl isothiocyanate 622-59-3, p-Tolyl isothiocyanate 622-95-7, 4-Chlorobenzyl bromide 765-50-4, 2-Chloromethylthiophene 824-94-2, 4-Methoxybenzyl chloride 824-98-6, 3-Methoxybenzyl chloride 870-63-3, 1-Bromo-3-methylbut-2-ene 1201-68-9, 3-Chloromethyl-5-phenyl-1,2,4-oxadiazole 1544-68-9, 4-Fluorophenyl isothiocyanate 1623-88-7, 5-Chloromethylfuran-2-carbaldehyde 1642-81-5, 4-(Chloromethyl)benzoic acid 2131-55-7, p-Chlorophenyl isothiocyanate 2270-59-9, 5-Bromo-2-methyl-2-pentene 2284-20-0, p-Methoxyphenyl isothiocyanate 2528-00-9, 5-Chloromethylfuran-2-carboxylic acid ethyl ester 2550-36-9, Bromomethylcyclohexane 2746-23-8, 3-Chloromethylthiophene 3288-04-8, 2-Methoxyphenyl isothiocyanate 3662-78-0, p-Methoxycarbonylphenyl isothiocyanate 4377-33-7, 2-(Chloromethyl)pyridine 4857-04-9, 2-(Chloromethyl)benzimidazole 7035-02-1, 2-Methoxybenzyl chloride 7311-46-8, 2-Chloromethyl-5-bromothiophene 7496-46-0, 8-Bromomethylquinoline 10445-91-7, 4-(Chloromethyl)pyridine 14497-29-1, 3-Chloromethylfuran 17201-43-3, 4-Cyanobenzyl bromide 17452-27-6, 3-Pyridyl isothiocyanate 17969-22-1, 4-Chloromethyl-2-(4-chlorophenyl)thiazole 19241-16-8, 2,6-Dimethylphenyl isothiocyanate 19241-19-1, 2-Ethylphenyl isothiocyanate 19394-61-7, 2-Phenylphenyl isothiocyanate 20850-43-5, 3,4-Methylenedioxybenzyl chloride 23165-44-8, 4-n-Butylphenyl isothiocyanate 23784-96-5, 2-Chloromethyl-5-chlorothiophene 27129-86-8, 3,5-Dimethylbenzyl bromide 33904-03-9, 2,4-Dimethoxyphenyl isothiocyanate 33904-04-0, 3,4-Dimethoxyphenyl isothiocyanate 34776-73-3, 2-Chloromethyl-5-methylthiophene 35166-37-1, 3-(Chloromethyl)-5-methylisoxazole 35223-80-4, Propyl bromoacetate 36176-31-5, 2-Isopropylphenyl isothiocyanate 36255-44-4, 3-Bromo-1,1-dimethoxypropane 39238-07-8, 4-Chloromethyl-2-methylthiazole 40046-28-4, 2-Methyl-4-methoxyphenylisothiocyanate 52289-93-7, 2-Methoxybenzyl bromide 54777-65-0, 4-Acetamidobenzyl chloride 73789-86-3, 4-Isopropylbenzyl bromide 85118-01-0, 3,4-Difluorobenzyl bromide 92521-25-0, 2-Chloromethyl-3-methylthiophene 112433-47-3, 2-Chloromethyl-3-

chlorothiophene
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)
IT 61229-81-0, Methionine aminopeptidase
RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)
(type 2, inhibitors; preparation of anilino(benzylthio)triazole derivs. as MetAP2 inhibitors)

=> d hist

(FILE 'HOME' ENTERED AT 09:06:39 ON 10 JUL 2008)

FILE 'REGISTRY' ENTERED AT 09:06:56 ON 10 JUL 2008
L1 STRUCTURE UPLOADED
L2 50 S L1 SAM
L3 STRUCTURE UPLOADED
L4 12 S L3 SAM
L5 441 S L3 FUL
L6 8 S L5 AND THIOPHEN?
L7 172872 S 1,2,4-TRIAZOLE
L8 393 S L7 AND ANILINO
L9 57 S L8 AND THIOPHEN?

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:30:12 ON 10 JUL 2008
L10 2 S L9

=> s l5
L11 97 L5

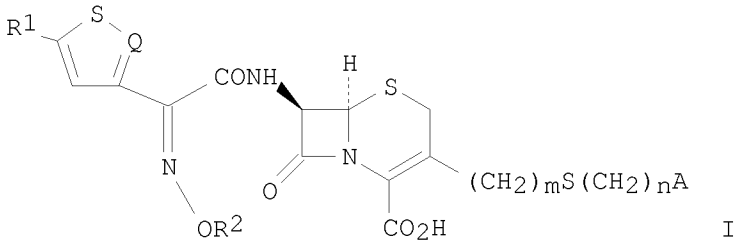
=> s l11 and bacter?
L12 1 L11 AND BACTER?

=> d ibib abs

L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:303917 CAPLUS
DOCUMENT NUMBER: 124:342985
ORIGINAL REFERENCE NO.: 124:63699a,63702a
TITLE: Preparation of cephem derivatives as antibacterials erythromycin
INVENTOR(S): Tawada, Hiroyuki; Myake, Akio; Iwahi, Tomoyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 08059669	A	19960305	JP 1995-73897		19950330
PRIORITY APPLN. INFO.:			JP 1995-73897	A	19950330
			JP 1994-130536		19940613

OTHER SOURCE(S): MARPAT 124:342985
GI



I

AB Title compds. I [R1 = (un)substituted amino; Q = N, CH; R2 = H, (un)substituted hydrocarbyl; A = (un)substituted heterocyclyl; m = 2, 3; n = 0-3 integer] and their salts and esters are prepared Thus, p-methoxybenzyl 7β-amino-3-[2-(2-pyrazinylthio)ethyl]-3-cephem-4-carboxylate (preparation given) was reacted with 2-(2-aminothiazol-4-yl)-2(Z)-trityloxyiminoacetic acid in THF containing 1-hydroxybenzotriazole and DCC to give 76.6% I [Q = CH, R1 = NH2, R2 = trityl, m = 2, n = 0, A = 2-pyrazinyl] p-methoxybenzyl ester. This was hydrolyzed to give I [Q, R1, R2, m, n, A same as above] isolated as its sodium salt. This had an IC50 of 0.39 mu/mL against Staphylococcus aureus.

=> d it

L12 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

IT Bactericides, Disinfectants, and Antiseptics
(preparation of cephem derivs. as antibacterials)

IT 176657-69-5P 176657-70-8P 176657-71-9P 176657-72-0P 176657-73-1P
176657-74-2P 176657-75-3P 176657-76-4P 176657-77-5P 176657-78-6P
176657-79-7P 176657-80-0P 176657-81-1P 176657-82-2P 176657-83-3P
176657-84-4P 176657-85-5P 176657-86-6P 176657-87-7P 176657-88-8P
176657-89-9P 176657-90-2P 176657-91-3P 176657-92-4P 176657-93-5P
176657-94-6P 176657-95-7P 176657-96-8P 176657-97-9P 176657-98-0P
176657-99-1P 176658-00-7P 176658-01-8P 176658-02-9P 176658-03-0P
176658-04-1P 176658-05-2P 176658-06-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cephem derivs. as antibacterials)

IT 767-17-9 824-94-2, p-Methoxybenzyl chloride 872-35-5, 2-Mercaptoimidazole 1004-39-3, 4,6-Diamino-2-mercaptopyrimidine 2637-34-5, 2-Mercaptopyridine 2935-90-2, Methyl 3-mercaptopropionate 3395-91-3, Methyl 3-bromopropionate 4548-45-2, 2-Chloro-5-nitropyridine 4556-23-4, 4-Mercaptopyridine 4637-24-5, Dimethylformamide dimethyl acetal 6307-44-4, 2-Amino-4-methyl-6-mercaptopyrimidine 7151-89-5 23003-22-7, 2-Mercapto-3-hydroxypyridine 24424-99-5, Di-tert-butyl dicarbonate 38521-06-1, 2-Mercaptopyrazine 43201-08-7, 1,2,4-Thiadiazole-5-thiol 61607-68-9 69893-92-1, 1,2,3-Thiadiazole-5-thiol 77168-62-8 77359-58-1 77780-50-8 88570-74-5 105275-37-4 119608-90-1 128438-01-7 140128-62-7 176658-54-1 176658-55-2 176658-56-3 176658-57-4 176658-58-5 176658-59-6 176658-60-9 176658-61-0 176658-62-1 176658-63-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cephem derivs. as antibacterials)

IT 176658-07-4P 176658-08-5P 176658-09-6P 176658-10-9P 176658-11-0P
176658-12-1P 176658-13-2P 176658-14-3P 176658-15-4P 176658-16-5P
176658-17-6P 176658-18-7P 176658-19-8P 176658-20-1P 176658-21-2P
176658-22-3P 176658-23-4P 176658-24-5P 176658-25-6P 176658-26-7P
176658-27-8P 176658-28-9P 176658-29-0P 176658-30-3P 176658-31-4P

176658-32-5P 176658-33-6P 176658-34-7P 176658-35-8P 176658-36-9P
176658-37-0P 176658-38-1P 176658-39-2P 176658-40-5P
176658-41-6P 176658-42-7P 176658-43-8P 176658-44-9P
176658-45-0P 176658-46-1P 176658-47-2P 176658-48-3P 176658-49-4P
176658-50-7P 176658-51-8P 176658-52-9P 176658-53-0P 176658-64-3P
176658-65-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cephem derivs. as antibacterials)

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	45.85	305.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.40	-2.40

FILE 'REGISTRY' ENTERED AT 09:34:33 ON 10 JUL 2008
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0
DICTIONARY FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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http://www.cas.org/support/stngen/stndoc/properties.html

=> S 176658-41-6/RN

L13 1 176658-41-6/RN

=> SET NOTICE 1 DISPLAY

NOTICE SET TO 1 U.S. DOLLAR FOR DISPLAY COMMAND
SET COMMAND COMPLETED

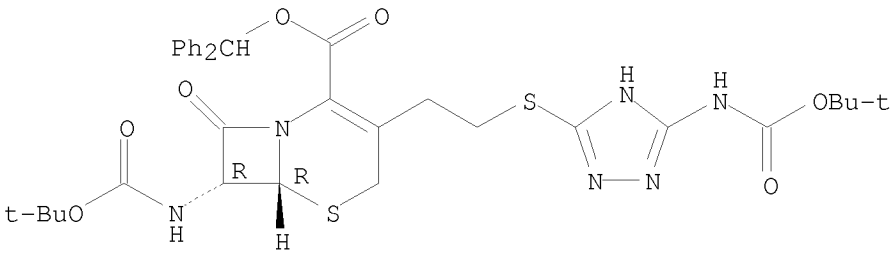
=> D L13 SQIDE 1-

YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y
THE ESTIMATED COST FOR THIS REQUEST IS 6.65 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN   176658-41-6 REGISTRY
CN   5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
     7-[[(1,1-dimethylethoxy)carbonyl]amino]-3-[2-[[5-[[(1,1-
     dimethylethoxy)carbonyl]amino]-1H-1,2,4-triazol-3-yl]thio]ethyl]-8-oxo-,
     diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)
FS   STEREOSEARCH
MF   C34 H40 N6 O7 S2
SR   CA
LC   STN Files: CA, CAPLUS, TOXCENTER
DT.CA CAplus document type: Patent
RL.P   Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

               1 REFERENCES IN FILE CA (1907 TO DATE)
               1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND
SET COMMAND COMPLETED

=>

=> d hist

     (FILE 'HOME' ENTERED AT 09:06:39 ON 10 JUL 2008)

     FILE 'REGISTRY' ENTERED AT 09:06:56 ON 10 JUL 2008
L1   STRUCTURE UPLOADED
L2   50 S L1 SAM
L3   STRUCTURE UPLOADED
L4   12 S L3 SAM
L5   441 S L3 FUL
L6   8 S L5 AND THIOPHEN?
L7   172872 S 1,2,4-TRIAZOLE
L8   393 S L7 AND ANILINO
L9   57 S L8 AND THIOPHEN?

     FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:30:12 ON 10 JUL 2008
L10   2 S L9
L11   97 S L5
L12   1 S L11 AND BACTER?

     FILE 'REGISTRY' ENTERED AT 09:34:33 ON 10 JUL 2008
L13   1 S 176658-41-6/RN
               SET NOTICE 1 DISPLAY

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                SET NOTICE LOGIN DISPLAY

=> s methionine (w) aminopeptid?
         43943 METHIONINE
          3828 AMINOPEPTID?
L14         460 METHIONINE (W) AMINOPEPTID?

=> s l14 and staphyloco?
         86689 STAPHYLOCO?
L15          5 L14 AND STAPHYLOCO?

=> dup rem l15
DUPLICATE IS NOT AVAILABLE IN 'REGISTRY'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
PROCESSING COMPLETED FOR L15
L16           5 DUP REM L15 (0 DUPLICATES REMOVED)

=> d ibib abs 1-5
'IBIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual
fields or predefined formats.  The predefined substance formats
are:  (RN = CAS Registry Number)

REG    - RN
SAM    - Index Name, MF, and structure - no RN
FIDE   - All substance data, except sequence data
IDE    - FIDE, but only 50 names
SQIDE  - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
SQD    - Protein sequence data, includes RN
SQD3   - Same as SQD, but 3-letter amino acid codes are used
SQN    - Protein sequence name information, includes RN

EPROP  - Table of experimental properties
PPROP  - Table of predicted properties
PROP   - EPROP, ETAG, PPROP and SPEC

Any CA File format may be combined with any substance format to
obtain CA references citing the substance.  The substance formats
must be cited first.  The CA File predefined formats are:

ABS  -- Abstract
APPS -- Application and Priority Information
BIB  -- CA Accession Number, plus Bibliographic Data
CAN  -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND  -- Index Data
IPC  -- International Patent Classification
PATS -- PI, SO
STD  -- BIB, IPC, and NCL

IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels
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SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

The ALL format gives FIDE BIB ABS IND RE, plus sequence data when
it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.

For additional information, please consult the following help
messages:

HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):cn

L16 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
CN Methionine aminopeptidase (Staphylococcus aureus aureus strain
FPR3757 clone USA300 gene map) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN GenBank ABD20744
CN GenBank ABD20744 (Translated from: GenBank CP000255)

L16 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
CN Methionine aminopeptidase (Staphylococcus saprophyticus saprophyticus
strain ATCC 15305) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN GenBank BAE18050
CN GenBank BAE18050 (Translated from: GenBank AP008934)

L16 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
CN Methionine aminopeptidase, type I (Staphylococcus epidermidis strain
RP62A gene map) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN GenBank AAW54790
CN GenBank AAW54790 (Translated from: GenBank CP000029)

L16 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
CN Methionine aminopeptidase, type I (Staphylococcus aureus aureus
strain COL) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN GenBank AAW38387
CN GenBank AAW38387 (Translated from: GenBank CP000046)

L16 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2008 ACS on STN
CN Protein (Staphylococcus aureus methionine aminopeptidase sequence
homolog) (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 26: PN: US6403337 SEQID: 26 claimed protein

=> d hist

(FILE 'HOME' ENTERED AT 09:06:39 ON 10 JUL 2008)

FILE 'REGISTRY' ENTERED AT 09:06:56 ON 10 JUL 2008
L1 STRUCTURE UPLOADED
L2 50 S L1 SAM
L3 STRUCTURE UPLOADED
L4 12 S L3 SAM
L5 441 S L3 FUL
L6 8 S L5 AND THIOPHEN?

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L7       172872 S 1,2,4-TRIAZOLE
L8          393 S L7 AND ANILINO
L9           57 S L8 AND THIOPHEN?

     FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:30:12 ON 10 JUL 2008
L10           2 S L9
L11          97 S L5
L12           1 S L11 AND BACTER?

     FILE 'REGISTRY' ENTERED AT 09:34:33 ON 10 JUL 2008
L13           1 S 176658-41-6/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY
L14         460 S METHIONINE (W) AMINOPEPTID?
L15           5 S L14 AND STAPHYLOCO?
L16           5 DUP REM L15 (0 DUPLICATES REMOVED)

=> file caplus medline biosis embase
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  29.75     335.40

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -2.40

FILE 'CAPLUS' ENTERED AT 09:37:26 ON 10 JUL 2008
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FILE 'MEDLINE' ENTERED AT 09:37:26 ON 10 JUL 2008

FILE 'BIOSIS' ENTERED AT 09:37:26 ON 10 JUL 2008
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FILE 'EMBASE' ENTERED AT 09:37:26 ON 10 JUL 2008
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=> s methionine (w) aminopeptid?
L17        1363 METHIONINE (W) AMINOPEPTID?

=> s l17 and staphyloc?
L18          23 L17 AND STAPHYLOC?

=> dup rem l18
PROCESSING COMPLETED FOR L18
L19          20 DUP REM L18 (3 DUPLICATES REMOVED)

=> d ibib abs 1-20

L19  ANSWER 1 OF 20  BIOSIS  COPYRIGHT (c) 2008 The Thomson Corporation  on STN
ACCESSION NUMBER:    2007:555596  BIOSIS
DOCUMENT NUMBER:     PREV200700551104
TITLE:               Activity-based protein profiling for type I
                     methionine aminopeptidase by using
                     photo-affinity trimodular probes.
AUTHOR(S):           Qiu, Wen-Wei; Xu, Jie; Li, Jing-Ya; Li, Jia [Reprint
                     Author]; Nana, Fa-Jun
CORPORATE SOURCE:    Shanghai Inst Mat Med, Chinese Natl Ctr Drug Screening, 189
                     Guo Shou Jing Rd, Shanghai 201203, Peoples R China
                     jli@moil.shcnc.ac.cn; fjnan@moil.shcnc.ac.cn
```

SOURCE: ChemBioChem, (AUG 13 2007) Vol. 8, No. 12, pp. 1351-1358.
ISSN: 1439-4227.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 24 Oct 2007
Last Updated on STN: 24 Oct 2007

L19 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:167961 CAPLUS
DOCUMENT NUMBER: 144:227504
TITLE: Essential genes of Bacillus licheniformis and improved biotechnological production procedures based on genetic engineering
INVENTOR(S): Feesche, Joerg; Evers, Stefan; Bessler, Cornelius; Plath, Martina; Ehrenreich, Armin; Veith, Birgit; Liesegang, Heiko; Henne, Anke; Herzberg, Christina; Gottschalk, Gerhard
PATENT ASSIGNEE(S): Henkel K.-G.a.A., Germany
SOURCE: Ger. Offen., 642 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102004040134	A1	20060223	DE 2004-102004040134	20040819
WO 2006018205	A2	20060223	WO 2005-EP8683	20050810
WO 2006018205	A3	20061130		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2004-102004040134A 20040819
AB The present invention provides 150 new essential genes and their encoded proteins of Bacillus licheniformis strain DSM13. These genes encode proteins essential to viability of B. licheniformis, including replication factors (for example DNA polymerase, helicase, or gyrase), transcription factors (for example RNA polymerase), protein biosynthesis (ribosomal proteins, aminocyl-tRNA synthetases, initiation and elongation factors), secretion of proteins (for example translocases), or energy metabolism An absence of these genes is directly lethal for the cells concerned and cannot be balanced by compds. from the nutrient medium. Thus, the associated genes can be used as selection markers. Biotechnol. production fermentative procedures in microorganisms can be improved by genetic engineering involving these selection genes.

L19 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:160981 CAPLUS
DOCUMENT NUMBER: 142:256748
TITLE: Crystal structure of methionine aminopeptidase from Staphylococcus aureus and Streptococcus pneumoniae, and use of

structural data in drug discovery
INVENTOR(S): Palmer, Leslie M.; Janson, Cheryl A.; Smith, Ward Whitlock, Jr.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 347 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016237	A2	20050224	WO 2004-US14258	20040507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1624849	A2	20060215	EP 2004-775954	20040507
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007525947	T	20070913	JP 2006-514318	20040507
US 20070077641	A1	20070405	US 2005-555830	20051107

PRIORITY APPLN. INFO.:
US 2003-468643P P 20030507
WO 2004-US14258 W 20040507

AB Crystal structures of methionine aminopeptidases from Staphylococcus aureus and Streptococcus pneumoniae are disclosed. Three dimensional structure coordinates of methionine aminopeptidases from S. aureus and S. pneumoniae are disclosed. Three dimensional structure coordinates for S. aureus methionine aminopeptidase complexes with specific inhibitors, 5-(3-iodo-phenyl)-1-H-[1,2,3]triazole and 5-benzofuran-2-yl-1-H-[1,2,3]triazole, are also provided. Also disclosed are inhibitors of bacterial methionine aminopeptidases, useful in treating bacterial infections and methods of identifying inhibitors of this aminopeptidase and methods of inhibiting MetAP using inhibitors with certain structural and spatial characteristics.

L19 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:824459 CAPLUS
DOCUMENT NUMBER: 143:189122
TITLE: Cloning and physical characterization of microbial polypeptides and their use as antimicrobial targets
INVENTOR(S): Edwards, Aled
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 637 pp., Cont.-in-part of Appl. No. PCT/CA03/00483.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 16
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 20050181464 A1 20050818 US 2004-953901 20040929
WO 2003084987 A2 20031016 WO 2003-CA465 20030404
WO 2003084987 A3 20050428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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WO 2003087146 A2 20031023 WO 2003-CA482 20030408
WO 2003087146 A3 20040318
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WO 2003087145 A2 20031023 WO 2003-CA483 20030408
WO 2003087145 A3 20040617
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PRIORITY APPLN. INFO.:

US 2002-385611P P 20020604
US 2002-385747P P 20020604
US 2002-385962P P 20020605
US 2002-386022P P 20020605
US 2002-386024P P 20020605
US 2002-386087P P 20020605
US 2002-386141P P 20020605
US 2002-386350P P 20020605
US 2002-386586P P 20020605
US 2002-386368P P 20020606
US 2002-386369P P 20020606
US 2002-386436P P 20020606
US 2002-386441P P 20020606
US 2002-386528P P 20020606
US 2002-386573P P 20020606
US 2002-386834P P 20020606
US 2002-399839P P 20020731
US 2002-399861P P 20020731
US 2002-399969P P 20020731
US 2002-399970P P 20020731
US 2002-399983P P 20020731
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US 2002-399985P P 20020731
US 2002-400154P P 20020801
US 2002-400230P P 20020801

US 2002-400268P	P	20020801
US 2002-400363P	P	20020801
US 2002-400365P	P	20020801
US 2002-400374P	P	20020801
US 2002-400380P	P	20020801
US 2002-400433P	P	20020801
US 2002-400434P	P	20020801
US 2002-400436P	P	20020801
US 2002-400442P	P	20020801
US 2002-400463P	P	20020801
WO 2003-CA465	A2	20030404
WO 2003-CA482	A2	20030408
WO 2003-CA483	A2	20030408
US 2002-369819P	P	20020404
US 2002-369826P	P	20020404
US 2002-369831P	P	20020404
US 2002-370060P	P	20020404
US 2002-370681P	P	20020408
US 2002-370806P	P	20020408
US 2002-370852P	P	20020408
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US 2002-371014P	P	20020409
US 2002-371025P	P	20020409
US 2002-371064P	P	20020409
US 2002-371065P	P	20020409
US 2002-371094P	P	20020409
US 2002-371114P	P	20020409
US 2002-371180P	P	20020409
US 2002-371189P	P	20020409

AB The present invention relates to polypeptide targets for pathogenic bacteria. Reliable, high throughput methods are developed to identify, express, and purify a number of antimicrobial targets from Staphylococcus aureus, Escherichia coli, Streptococcus pneumoniae, Enterococcus faecalis, Hemophilus influenzae, and Pseudomonas aeruginosa. The nucleic acid and amino acid sequences are provided for a number of microbial genes and their encoded protein products. The invention also provides bioinformatic, biochem. and biophys. characteristics of those polypeptides, in particular characterization by mass spectrometry, NMR spectrometry, and x-ray crystallog.

L19 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:824453 CAPLUS
DOCUMENT NUMBER: 143:224920
TITLE: Cloning and physical characterization of microbial polypeptides involved in protein synthesis and modification and their use as antimicrobial targets
INVENTOR(S): Edwards, Aled
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 667 pp., Cont.-in-part of Appl. No. PCT/CA03/00481.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 16
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 20050181388 A1 20050818 US 2004-958216 20041004
WO 2003083099 A2 20031009 WO 2003-CA462 20030402
WO 2003083099 A3 20080103
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WO 2003084986 A2 20031016 WO 2003-CA464 20030404
WO 2003084986 A3 20040219
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WO 2003087353 A2 20031023 WO 2003-CA481 20030408
WO 2003087353 A3 20040205
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WO 2003087354 A2 20031023 WO 2003-CA485 20030408
WO 2003087354 A3 20040304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
US 2002-386390P P 20020606
US 2002-399972P P 20020731
US 2002-400348P P 20020801
US 2002-424053P P 20021105
US 2002-424380P P 20021106
US 2002-424395P P 20021106
US 2002-425086P P 20021108
US 2002-425200P P 20021108
US 2002-436243P P 20021224
US 2002-436288P P 20021224
US 2002-436345P P 20021224
US 2002-436349P P 20021224

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US 2002-436567P	P	20021226
US 2002-436568P	P	20021226
US 2002-436675P	P	20021227
US 2002-436708P	P	20021227
US 2002-436734P	P	20021227
US 2002-436804P	P	20021227
US 2002-436834P	P	20021227
US 2002-436842P	P	20021227
US 2002-436861P	P	20021227
US 2002-436885P	P	20021227
US 2002-436889P	P	20021227
US 2002-436893P	P	20021227
US 2002-436900P	P	20021227
US 2002-436947P	P	20021230
US 2002-436971P	P	20021230
US 2002-436987P	P	20021230
US 2002-437013P	P	20021230
US 2002-437038P	P	20021230
US 2002-437141P	P	20021230
US 2002-437281P	P	20021231
US 2002-437527P	P	20021231
US 2002-437620P	P	20021231
US 2002-437638P	P	20021231
WO 2003-CA462	A2	20030402
WO 2003-CA464	A2	20030404
WO 2003-CA481	A2	20030408
WO 2003-CA485	A2	20030408
US 2002-369511P	P	20020402
US 2002-369817P	P	20020404
US 2002-370102P	P	20020404
US 2002-370778P	P	20020408
US 2002-370792P	P	20020408
US 2002-370820P	P	20020408
US 2002-370859P	P	20020408
US 2002-370899P	P	20020408
US 2002-370915P	P	20020408
US 2002-371067P	P	20020409
US 2002-371107P	P	20020409
US 2002-371140P	P	20020409
US 2002-371185P	P	20020409
US 2002-385089P	P	20020531
US 2002-385426P	P	20020531
US 2002-385751P	P	20020604
US 2002-386018P	P	20020605
US 2002-386367P	P	20020605
US 2002-386548P	P	20020605
US 2002-386553P	P	20020605
US 2002-386566P	P	20020605
US 2002-386577P	P	20020605
US 2002-386283P	P	20020606
US 2002-386430P	P	20020606
US 2002-386601P	P	20020606
US 2002-386826P	P	20020606
US 2002-386869P	P	20020606

AB The present invention relates to polypeptide targets for pathogenic bacteria. Reliable, high throughput methods are developed to identify, express, and purify a number of antimicrobial targets from Staphylococcus aureus, Escherichia coli, Streptococcus pneumoniae, Enterococcus faecalis, Hemophilus influenzae, and Pseudomonas aeruginosa. The nucleic acid and amino acid sequences are provided several proteins. The invention also provides bioinformatic, biochem., and biophys.

characteristics of those polypeptides, in particular characterization by mass spectrometry, NMR spectrometry, and x-ray crystallog.

L19 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:842874 CAPLUS
DOCUMENT NUMBER: 143:342576
TITLE: Phylogenetic analysis of Pasteuria penetrans by use of multiple genetic loci
AUTHOR(S): Charles, Lauren; Carbone, Ignazio; Davies, Keith G.; Bird, David; Burke, Mark; Kerry, Brian R.; Opperman, Charles H.
CORPORATE SOURCE: Center for the Biology of Nematode Parasitism, Department of Plant Pathology, North Carolina State University, Raleigh, NC, 27606, USA
SOURCE: Journal of Bacteriology (2005), 187(16), 5700-5708
CODEN: JOBAAY; ISSN: 0021-9193
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Pasteuria penetrans is a gram-pos., endospore-forming eubacterium that apparently is a member of the Bacillus-Clostridium clade. It is an obligate parasite of root knot nematodes (Meloidogyne spp.) and preferentially grows on the developing ovaries, inhibiting reproduction Root knot nematodes are devastating root pests of economically important crop plants and are difficult to control. Consequently, P. penetrans has long been recognized as a potential biocontrol agent for root knot nematodes, but the fastidious life cycle and the obligate nature of parasitism have inhibited progress on mass culture and deployment. We are currently sequencing the genome of the Pasteuria bacterium and have performed amino acid level analyses of 33 bacterial species (including P. penetrans) using concatenation of 40 housekeeping genes, with and without insertions/deletions (indels) removed, and using each gene individually. By application of maximum-likelihood, maximum-parsimony, and Bayesian methods to the resulting data sets, P. penetrans was found to cluster tightly, with a high level of confidence, in the Bacillus class of the gram-pos., low-G+C-content eubacteria. Strikingly, our analyses identified P. penetrans as ancestral to Bacillus spp. Addnl., all analyses revealed that P. penetrans is surprisingly more closely related to the saprophytic extremophile Bacillus haladurans and Bacillus subtilis than to the pathogenic species Bacillus anthracis and Bacillus cereus. Collectively, these findings strongly imply that P. penetrans is an ancient member of the Bacillus group. We suggest that P. penetrans may have evolved from an ancient symbiotic bacterial associate of nematodes, possibly as the root knot nematode evolved to be a highly specialized parasite of plants.
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 20 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN
ACCESSION NUMBER: 2005:499947 BIOSIS
DOCUMENT NUMBER: PREV200510266154
TITLE: Identification of potent type I MetAPs inhibitors by simple bioisosteric replacement. Part 2: SAR studies of 5-heteroalkyl substituted TCAT derivatives.
AUTHOR(S): Cui, Yong-Mei; Huang, Qing-Qing; Xu, Jie; Chen, Ling-Ling; Li, Jing-Ya; Ye, Qi-Zhuang; Li, Jia [Reprint Author]; Nan, Fa-Jun
CORPORATE SOURCE: Chinese Acad Sci, Shanghai Inst Biol Sci, Grad Sch, Inst Mat Med,Chinese Natl Ctr Drug Screening, 189 Guoshoujing Rd,Zhangjiang Hi Tech Pk, Shanghai 201203, Peoples R China jli@mail.shcnc.ac.cn; fjnan@mail.shcnc.ac.cn
SOURCE: Bioorganic & Medicinal Chemistry Letters, (SEP 15 2005)

Vol. 15, No. 18, pp. 4130-4135.
CODEN: BMCLE8. ISSN: 0960-894X.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Nov 2005
Last Updated on STN: 16 Nov 2005
AB Systematic SAR studies on the thiazole ring 5-substituent of TCAT derivatives revealed that the introduction of a beta-alkoxy or an amino group enhanced the inhibitory activity significantly. The present compounds are representative of specific Co(II)-MetAP1 inhibitors. Before the physiologically relevant metal ions for MetAPs are established, these small molecular compounds could be used as tools for detailed biological studies.

L19 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1070708 CAPLUS
DOCUMENT NUMBER: 143:301262
TITLE: Crystal structures of Staphylococcus aureus methionine aminopeptidase complexed with keto heterocycle and aminoketone inhibitors reveal the formation of a tetrahedral intermediate. [Erratum to document cited in CA140:283330]
AUTHOR(S): Douangamath, Alice; Dale, Glenn E.; D'Arcy, Allan; Almstetter, Michael; Eckl, Robert; Frutos-Hoener, Annabelle; Henkel, Bernd; Illgen, Katrin; Nerdinger, Sven; Schulz, Henk; Mac Sweeney, Aengus; Thormann, Michael; Treml, Andreas; Pierau, Sabine; Wadman, Sjoerd; Oefner, Christian
CORPORATE SOURCE: Morphochem AG, Basel, CH-4058, Switz.
SOURCE: Journal of Medicinal Chemistry (2005), 48(1), 336
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On page 1325, the name of coauthor Aengus Mac Sweeney was misspelled.

L19 ANSWER 9 OF 20 EMBASE COPYRIGHT (c) 2008 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2005034505 EMBASE
TITLE: Erratum: Crystal structures of Staphylococcus aureus methionine aminopeptidase complexed with keto heterocycle and aminoketone inhibitors reveal the formation of a tetrahedral intermediate (Journal of Medical Chemistry (2004) 47 (1325)).
AUTHOR: Douangamath, Alice; Dale, Glenn E.; D'Arcy, Allan; Almstetter, Michael; Eckl, Robert; Frutos-Hoener, Annabelle; Henkel, Bernd; Illgen, Katrin; Nerdinger, Sven; Schulz, Henk; Mac Sweeney, Aengus; Thormann, Michael; Treml, Andreas; Pierau, Sabine; Wadman, Sjoerd; Oefner, Christian
SOURCE: Journal of Medicinal Chemistry, (13 Jan 2005) Vol. 48, No. 1, pp. 336.
ISSN: 0022-2623 CODEN: JMCMAR
COUNTRY: United States
DOCUMENT TYPE: Journal; Errata; (Erratum)
FILE SEGMENT: 030 Clinical and Experimental Pharmacology
LANGUAGE: English
ENTRY DATE: Entered STN: 4 Feb 2005
Last Updated on STN: 4 Feb 2005

L19 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2004:102827 CAPLUS

DOCUMENT NUMBER: 140:283330
TITLE: Crystal structures of Staphylococcus aureus methionine aminopeptidase complexed with keto heterocycle and aminoketone inhibitors reveal the formation of a tetrahedral intermediate
AUTHOR(S): Douangamath, Alice; Dale, Glenn E.; D'Arcy, Allan; Almstetter, Michael; Eckl, Robert; Frutos-Hoener, Annabelle; Henkel, Bernd; Illgen, Katrin; Nerdinger, Sven; Schulz, Henk; MacSweeney, Aengus; Thormann, Michael; Treml, Andreas; Pierau, Sabine; Wadman, Sjoerd; Oefner, Christian
CORPORATE SOURCE: Morphochem AG, Basel, CH-4058, Switz.
SOURCE: Journal of Medicinal Chemistry (2004), 47(6), 1325-1328
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB High-resolution crystal structures of Staphylococcus aureus methionine aminopeptidase I in complex with various keto heterocycles and aminoketones were determined, and the intermol. ligand interactions with the enzyme are reported. The compds. are effective inhibitors of the S. aureus enzyme because of the formation of an uncleavable tetrahedral intermediate upon binding. The electron densities unequivocally show the enzyme-catalyzed transition-state analog mimicking that for amide bond hydrolysis of substrates.
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 11 OF 20 EMBASE COPYRIGHT (c) 2008 Elsevier B.V. All rights reserved on STN
ACCESSION NUMBER: 2005058980 EMBASE
TITLE: Advances in the study of methionine aminopeptidases.
AUTHOR: Luo, Qun-Li; Li, Jing-Ya; Ye, Qi-Zhuang
SOURCE: Chinese Pharmaceutical Journal, (Nov 2004) Vol. 39, No. 11, pp. 804-808.
Refs: 31
ISSN: 1001-2494 CODEN: ZYZAEU
COUNTRY: China
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 030 Clinical and Experimental Pharmacology
037 Drug Literature Index
LANGUAGE: Chinese
SUMMARY LANGUAGE: Chinese
ENTRY DATE: Entered STN: 18 Feb 2005
Last Updated on STN: 18 Feb 2005

L19 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:972222 CAPLUS
DOCUMENT NUMBER: 140:37977
TITLE: Cloning and physical characterization of microbial polypeptides involved in protein synthesis and modification and their use as antimicrobial targets
INVENTOR(S): Edwards, Aled; Dharamsi, Akil; Vedadi, Masoud; Vallee, Francois; Awrey, Donald; Beattie, Bryan; Richards, Dawn; Domagala, Megan; Mansoury, Kamran; Virag, Cristina; Buzadzija, Kristina; McDonald, Merry-Lynn; Houston, Simon; Arrowsmith, Cheryl; Ouyang, Hui
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.
SOURCE: PCT Int. Appl., 606 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 16
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003102190	A2	20031211	WO 2003-CA786	20030602
WO 2003102190	A3	20040521		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003229205	A1	20031219	AU 2003-229205	20030602

PRIORITY APPLN. INFO.:			
	US 2002-384634P	P	20020531
	US 2002-385157P	P	20020531
	US 2002-385542P	P	20020604
	US 2002-385611P	P	20020604
	US 2002-385747P	P	20020604
	US 2002-385750P	P	20020604
	US 2002-385752P	P	20020604
	US 2002-385773P	P	20020604
	US 2002-385780P	P	20020604
	US 2002-385785P	P	20020604
	US 2002-385797P	P	20020604
	US 2002-385962P	P	20020605
	US 2002-386022P	P	20020605
	US 2002-386024P	P	20020605
	US 2002-386087P	P	20020605
	US 2002-386141P	P	20020605
	US 2002-386350P	P	20020605
	US 2002-386586P	P	20020605
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	US 2002-386436P	P	20020606
	US 2002-386441P	P	20020606
	US 2002-386528P	P	20020606
	US 2002-386573P	P	20020606
	US 2002-386834P	P	20020606
	US 2002-399839P	P	20020731
	US 2002-399861P	P	20020731
	US 2002-399969P	P	20020731
	US 2002-399970P	P	20020731
	US 2002-399983P	P	20020731
	US 2002-399984P	P	20020731
	US 2002-399985P	P	20020731
	US 2002-400268P	P	20020801
	US 2002-400363P	P	20020801
	US 2002-400436P	P	20020801
	US 2002-400154P	P	20020801
	US 2002-400230P	P	20020801
	US 2002-400365P	P	20020801
	US 2002-400374P	P	20020801
	US 2002-400380P	P	20020801
	US 2002-400433P	P	20020801
	US 2002-400434P	P	20020801

	US 2002-400442P	P	20020801
	US 2002-400463P	P	20020801
	WO 2003-CA786	W	20030602

AB The present invention relates to polypeptide targets for pathogenic bacteria. Reliable, high throughput methods are developed to identify, express, and purify a number of antimicrobial targets from Staphylococcus aureus, Escherichia coli, Streptococcus pneumoniae, Enterococcus faecalis, Helicobacter pylori, and Pseudomonas aeruginosa. The invention also provides bioinformatic, biochem. and biophys. characteristics of those polypeptides, in particular characterization by mass spectrometry, NMR spectrometry, and x-ray crystallog.

L19 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:796890 CAPLUS
DOCUMENT NUMBER: 139:319340
TITLE: Cloning and physical characterization of microbial polypeptides involved in protein synthesis and modification and their use as antimicrobial targets
INVENTOR(S): Edwards, Aled; Dharamsi, Akil; Vedadi, Masoud; Alam, Muhammad Zahoor; Arrowsmith, Cheryl; Awrey, Donald; Beattie, Bryan; Richards, Dawn; Canadien, Veronica; Domagala, Megan; Houston, Simon; Mansoury, Kamran; Li, Qin; Nethery, Kathleen; Virag, Cristina; Ng, Ivy; Ouyang, Hui; Tai, Matthew; Thalakada, Rosanne; Kanagarajah, Dhushy
PATENT ASSIGNEE(S): Affinium Pharmaceuticals, Inc., Can.; et al.
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 16
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003083099	A2	20031009	WO 2003-CA462	20030402
WO 2003083099	A3	20080103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA				
AU 2003213933	A1	20031013	AU 2003-213933	20030402
US 20050181388	A1	20050818	US 2004-958216	20041004

PRIORITY APPLN. INFO.:	US 2002-369511P	P	20020402
	US 2002-385089P	P	20020531
	US 2002-385751P	P	20020604
	US 2002-386367P	P	20020605
	US 2002-386553P	P	20020605
	US 2002-386566P	P	20020605
	US 2002-386577P	P	20020605
	US 2002-386390P	P	20020606
	US 2002-386601P	P	20020606
	US 2002-399972P	P	20020731
	US 2002-424053P	P	20021105
	US 2002-436804P	P	20021227

US 2002-436834P	P	20021227
US 2002-436861P	P	20021227
US 2002-437281P	P	20021231
US 2002-437527P	P	20021231
US 2002-400348P	P	20020801
US 2002-424380P	P	20021106
US 2002-424395P	P	20021106
US 2002-425086P	P	20021108
US 2002-425200P	P	20021108
US 2002-436243P	P	20021224
US 2002-436288P	P	20021224
US 2002-436345P	P	20021224
US 2002-436349P	P	20021224
US 2002-436566P	P	20021226
US 2002-436567P	P	20021226
US 2002-436568P	P	20021226
US 2002-436675P	P	20021227
US 2002-436708P	P	20021227
US 2002-436734P	P	20021227
US 2002-436842P	P	20021227
US 2002-436885P	P	20021227
US 2002-436889P	P	20021227
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US 2002-436900P	P	20021227
US 2002-436947P	P	20021230
US 2002-436971P	P	20021230
US 2002-436987P	P	20021230
US 2002-437013P	P	20021230
US 2002-437038P	P	20021230
US 2002-437141P	P	20021230
US 2002-437620P	P	20021231
US 2002-437638P	P	20021231
WO 2003-CA462	W	20030402
WO 2003-CA464	A2	20030404
WO 2003-CA481	A2	20030408
WO 2003-CA485	A2	20030408

AB The present invention relates to polypeptide targets for pathogenic bacteria. Reliable, high throughput methods are developed to identify, express, and purify a number of antimicrobial targets from Staphylococcus aureus, Escherichia coli, Streptococcus pneumoniae, Enterococcus faecalis, Haemophilus influenzae, and Pseudomonas aeruginosa. The nucleic acid and amino acid sequences are provided for O-sialoglycoprotein endopeptidase, glycyl-tRNA synthetase α-subunit, translation elongation factor G, methionine aminopeptidase, phenylalanyl-tRNA synthetase α-subunit, peptide chain release factor RF-2, tRNA (guanine-7-)methyltransferase, and histidyl-tRNA synthetase. The invention also provides bioinformatic, biochem. and biophys. characteristics of those polypeptides, in particular characterization by mass spectrometry, NMR spectrometry, and x-ray crystallog.

L19 ANSWER 14 OF 20 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:40725 BIOSIS
DOCUMENT NUMBER: PREV200400041326
TITLE: Identification of potent inhibitors of the Staphylococcus aureus methionine aminopeptidase.
AUTHOR(S): Wadman, S. N. [Reprint Author]; Almstetter, M.; Bohrer, Y. [Reprint Author]; Dale, G. [Reprint Author]; Douangamath, A. [Reprint Author]; D'Arcy, A. [Reprint Author]; Frutos-Hoener, A. [Reprint Author]; Gardiner, R. [Reprint

Author]; Haefeli, S. [Reprint Author]; Henkel, B.; Illgen, K.; Locher, H. [Reprint Author]; Mareque, D. [Reprint Author]; Nerdinger, S.; Oefner, C. [Reprint Author]; Padilla, J. [Reprint Author]; Pierau, S. [Reprint Author]; Schulz, H. [Reprint Author]; Thormann, M.; Treml, A.
CORPORATE SOURCE: Morphochem AG, Basel, Switzerland
SOURCE: Abstracts of the Interscience Conference on Antimicrobial Agents and Chemotherapy, (2003) Vol. 43, pp. 217. print. Meeting Info.: 43rd Annual Interscience Conference on Antimicrobial Agents and Chemotherapy. Chicago, IL, USA. September 14-17, 2003. American Society for Microbiology.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Jan 2004
Last Updated on STN: 14 Jan 2004

AB Background: Methionine aminopeptidases (MetAps) remove the terminal methionines from many newly synthesized polypeptides as part of protein maturation and are deemed essential for normal cell function in most living organisms. Selective inhibitors of bacterial MetAps would represent a novel class of antibacterial agents to address the growing need for novel treatments of infections by increasingly common multi-drug resistant bacterial strains. Methods: Our approach was aimed to generate multiple, structurally diverse series of inhibitors of S. aureus MetAp, based upon insights gained from X-ray crystallography of enzyme-inhibitor complexes. We verified the binding mode of several inhibitors classes and used this information into the design of new inhibitors. Results: Molmind TM Technology, structure-based design and parallel chemistry techniques allowed identification of four distinct inhibitor classes of S. aureus MetAp and their binding modes were verified by X-ray crystallography. In one series, based on a central triazole motif, low nanomolar inhibitors were rapidly identified but surprisingly these were completely inactive in antibacterial assays. In other series, modest antibacterial activity was identified and correlated with enzyme affinity. Conclusions: The lack of correlation between enzyme affinity and antibacterial activity for our most active series of inhibitors may be due to a number of variables, but suggests a discrepancy between the in vitro and in vivo enzyme states. The behavior of antagonists depends critically on the nature of the catalytic metal center of the enzyme and we surmise that the assay conditions do not accurately mirror the in vivo state of the enzyme.

L19 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:444428 CAPLUS
DOCUMENT NUMBER: 137:30494
TITLE: Staphylococcus aureus genes and gene products and their use in the prophylaxis, diagnosis, and treatment of infection
INVENTOR(S): Bailey, Camella; Choi, Gil H.
PATENT ASSIGNEE(S): Human Genome Sciences, Inc., USA
SOURCE: U.S., 123 pp., Cont.-in-part of Appl. No. PCT/US99/19726.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6403337	B1	20020611	US 2000-512255	20000224
US 20030054436	A1	20030320	US 1997-781986	19970103
US 6737248	B2	20040518		

US 6593114	B1	20030715	US 1997-956171		19971020
WO 2000012678	A2	20000309	WO 1999-US19726		19990831
WO 2000012678	A3	20000615			

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 20030186364	A1	20031002	US 2002-138701		20020506
US 6753149	B2	20040622			
JP 2004135679	A	20040513	JP 2003-431638		20031225
US 20040265962	A1	20041230	US 2004-823785		20040414
PRIORITY APPLN. INFO.:			US 1996-9861P	P	19960105
			US 1997-781986	A2	19970105
			US 1997-956171	A2	19971020
			US 1998-98964P	P	19980901
			WO 1999-US19726	A2	19990831
			JP 1997-20160	A3	19970106
			US 2000-512255	A3	20000224
			US 2002-138701	A3	20020506

AB The present invention relates to novel genes from S. aureus and the polypeptides they encode. Also provided as are vectors, host cells, antibodies and recombinant methods for producing the same. The invention further relates to screening methods for identifying agonists and antagonists of S. aureus polypeptide activity. The invention addnl. relates to diagnostic methods for detecting Staphylococcus nucleic acids, polypeptides and antibodies in a biol. sample. The present invention further relates to novel vaccines for the prevention or attenuation of infection by Staphylococcus.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:937303 CAPLUS

DOCUMENT NUMBER: 138:20443

TITLE: Endocrine disruptor screening using DNA chips of endocrine disruptor-responsive genes

INVENTOR(S): Kondo, Akihiro; Takeda, Takeshi; Mizutani, Shigetoshi; Tsujimoto, Yoshimasa; Takashima, Ryokichi; Enoki, Yuki; Kato, Ikunoshin

PATENT ASSIGNEE(S): Takara Bio Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 386 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2002355079	A	20021210	JP 2002-69354		20020313
PRIORITY APPLN. INFO.:			JP 2001-73183	A	20010314
			JP 2001-74993	A	20010315
			JP 2001-102519	A	20010330

AB A method and kit for detecting endocrine-disrupting chems. using DNA microarrays are claimed. The method comprises preparing a nucleic acid sample containing mRNAs or cDNAs originating in cells, tissues, or organisms which have been brought into contact with a sample containing the endocrine disruptor. The nucleic acid sample is hybridized with DNA microarrays

having genes affected by the endocrine disruptor or DNA fragments originating in these genes have been fixed. The results obtained are then compared with the results obtained with the control sample to select the gene affected by the endocrine disruptor. Genes whose expression is altered by tri-Bu tin, 4-octaphenol, 4-nonylphenol, di-N-Bu phthalate, dichlorohexyl phthalate, octachlorostyrene, benzophenone, diethylhexyl phthalate, diethylstilbestrol (DES), and 17-β estradiol (E2), were found in mice by DNA chip anal.

L19 ANSWER 17 OF 20 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:66112 BIOSIS
DOCUMENT NUMBER: PREV200300066112
TITLE: Peptide deformylase inhibitors, potential for a new class of broad spectrum antibacterials.
AUTHOR(S): Clements, John M. [Reprint Author]; Ayscough, Andrew P.; Keavey, Kenneth; East, Stephen P.
CORPORATE SOURCE: British Biotech Pharmaceuticals Ltd., Watlington Road, Oxford, OX4 6LY, UK
clements@britbio.co.uk
SOURCE: Current Medicinal Chemistry - Anti-Infective Agents, (July 2002) Vol. 1, No. 3, pp. 239-249. print.
ISSN: 1568-0126 (ISSN print).
DOCUMENT TYPE: Article
General Review; (Literature Review)
LANGUAGE: English
ENTRY DATE: Entered STN: 29 Jan 2003
Last Updated on STN: 29 Jan 2003

L19 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:115186 CAPLUS
DOCUMENT NUMBER: 134:158506
TITLE: Protein and DNA sequences of a novel Staphylococcus aureus map protein and the uses thereof in diagnosis, therapy and drug screening
INVENTOR(S): Palmer, Leslie M.; Traini, Christopher M.; Burnham, Martin K. R.; Ward, Judith M.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Smithkline Beecham PLC
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001010904	A1	20010215	WO 2000-US21165		20000803
W: JP					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
JP 2003510025	T	20030318	JP 2001-515711		20000803
US 20030235842	A1	20031225	US 2003-374606		20030226
US 20060223082	A1	20061005	US 2005-271616		20051110
PRIORITY APPLN. INFO.:			US 1999-370397	A	19990806
			WO 2000-US21165	W	20000803
			US 2001-4292	B1	20011029
			US 2003-374606	B1	20030226

AB The invention provides protein and DNA sequences of a novel Staphylococcus aureus map protein and methods for producing the map by recombinant techniques. Staphylococcus map protein is

related by amino acid sequence homol. to map protein, which is believed to be a member of the methionine aminopeptidase family. Also provided are methods for utilizing map in drug screening for antibacterial compds. The invention further relates to the uses of map in diagnosis and treatment of disorders associated with microbial infections.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:161422 CAPLUS
DOCUMENT NUMBER: 132:204092
TITLE: Staphylococcus aureus gene and polypeptide sequences and their use as vaccines
INVENTOR(S): Bailey, Camella C.; Choi, Gil H.
PATENT ASSIGNEE(S): Human Genome Sciences, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012678	A2	20000309	WO 1999-US19726	19990831
WO 2000012678	A3	20000615		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341311	A1	20000309	CA 1999-2341311	19990831
AU 9961319	A	20000321	AU 1999-61319	19990831
EP 1109911	A2	20010627	EP 1999-948076	19990831
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525083	T	20020813	JP 2000-571068	19990831
US 6403337	B1	20020611	US 2000-512255	20000224
US 20030186364	A1	20031002	US 2002-138701	20020506
US 6753149	B2	20040622		
US 20040265962	A1	20041230	US 2004-823785	20040414

PRIORITY APPLN. INFO.:

US 1998-98964P	P	19980901
US 1996-9861P	P	19960105
US 1997-781986	A2	19970105
US 1997-956171	A2	19971020
WO 1999-US19726	W	19990831
US 2000-512255	A3	20000224
US 2002-138701	A3	20020506

AB The present invention relates to novel genes from Staphylococcus aureus strain ISP3 and the polypeptides they encode. Also provided are vectors, host cells, antibodies, and recombinant methods for producing the same. The invention further relates to screening methods for identifying agonists and antagonists of S. aureus polypeptide activity. The invention addnl. relates to diagnostic methods for detecting Staphylococcus nucleic acids, polypeptides, and antibodies in a biol. sample. The present invention further relates to novel vaccines for the prevention or attenuation of infection by Staphylococcus.

L19 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:156175 CAPLUS
DOCUMENT NUMBER: 133:115743
TITLE: Identification of the up- and down-regulated genes in vancomycin-resistant Staphylococcus aureus strains Mu3 and Mu50 by cDNA differential hybridization method
AUTHOR(S): Kuroda, Makoto; Kuwahara-Arai, Kyoko; Hiramatsu, Keiichi
CORPORATE SOURCE: Department of Bacteriology, Faculty of Medicine, Juntendo University, Bunkyo-ku, Tokyo, 113-8421, Japan
SOURCE: Biochemical and Biophysical Research Communications (2000), 269(2), 485-490
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Academic Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We previously reported the first vancomycin-resistant Staphylococcus aureus (VRSA) clin. strain, Mu50, whose cell wall is remarkably thickened resulting from the activation of cell-wall synthesis. To explore the genetic basis for the vancomycin resistance, cDNA differential hybridization was performed using RNAs extracted from a set of closely related S. aureus strains with various levels of vancomycin susceptibilities. The strains were Mu3 (MIC = 2 μg/mL), Mu50 (MIC = 8 μg/mL), and a susceptible revertant of Mu50, Mu50ω (MIC = 0.5 μg/mL). In this study, we report identification of a novel response regulator, designated vraR (standing for vancomycin-resistance associated gene R) whose transcription was remarkably up-regulated in Mu3 and Mu50 as compared to Mu50ω. Exptl. over-expression of VraR in vancomycin-susceptible strain N315P raised vancomycin resistance of the strain. Also, the genes coding for fructose utilization, fatty acid metabolism, and two putative ATP-binding cassette (ABC) transporter genes were found to be up-regulated in Mu3 and Mu50. On the other hand, Protein A expression was suppressed in Mu50, as compared with Mu3 and Mu50ω. We consider that the response regulator vraR is one of the key regulators modulating the level of vancomycin-resistance in S. aureus. Presumed increased uptake of fructose and altered fatty acid metabolism may also contribute to vancomycin resistance by supplying more precursor metabolites for cell-wall synthesis. (c) 2000 Academic Press.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 09:06:39 ON 10 JUL 2008)

FILE 'REGISTRY' ENTERED AT 09:06:56 ON 10 JUL 2008
L1 STRUCTURE UPLOADED
L2 50 S L1 SAM
L3 STRUCTURE UPLOADED
L4 12 S L3 SAM
L5 441 S L3 FUL
L6 8 S L5 AND THIOPHEN?
L7 172872 S 1,2,4-TRIAZOLE
L8 393 S L7 AND ANILINO
L9 57 S L8 AND THIOPHEN?

FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:30:12 ON 10 JUL 2008
L10 2 S L9
L11 97 S L5
L12 1 S L11 AND BACTER?

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     FILE 'REGISTRY' ENTERED AT 09:34:33 ON 10 JUL 2008
L13           1 S 176658-41-6/RN
                SET NOTICE 1 DISPLAY
                SET NOTICE LOGIN DISPLAY
L14         460 S METHIONINE (W) AMINOPEPTID?
L15           5 S L14 AND STAPHYLOCO?
L16           5 DUP REM L15 (0 DUPLICATES REMOVED)

     FILE 'CAPLUS, MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:37:26 ON 10 JUL 2008
L17        1363 S METHIONINE (W) AMINOPEPTID?
L18          23 S L17 AND STAPHYLOC?
L19          20 DUP REM L18 (3 DUPLICATES REMOVED)

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      66.60     402.00

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                     -11.20     -13.60

STN INTERNATIONAL LOGOFF AT 09:39:34 ON 10 JUL 2008



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PASSWORD:
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 NEWS  2  JAN 02  STN pricing information for 2008 now available
 NEWS  3  JAN 16  CAS patent coverage enhanced to include exemplified
                  prophetic substances
 NEWS  4  JAN 28  USPATFULL, USPAT2, and USPATOLD enhanced with new
                  custom IPC display formats
 NEWS  5  JAN 28  MARPAT searching enhanced
 NEWS  6  JAN 28  USGENE now provides USPTO sequence data within 3 days
                  of publication
 NEWS  7  JAN 28  TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS  8  JAN 28  MEDLINE and LMEDLINE reloaded with enhancements
 NEWS  9  FEB 08  STN Express, Version 8.3, now available
 NEWS 10  FEB 20  PCI now available as a replacement to DPCI
 NEWS 11  FEB 25  IFIREF reloaded with enhancements
 NEWS 12  FEB 25  IMSPRODUCT reloaded with enhancements
 NEWS 13  FEB 29  WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                  U.S. National Patent Classification
 NEWS 14  MAR 31  IFICDB, IFIPAT, and IFIUDB enhanced with new custom
                  IPC display formats
 NEWS 15  MAR 31  CAS REGISTRY enhanced with additional experimental
                  spectra
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 NEWS 16  MAR 31  CA/CAplus and CASREACT patent number format for U.S.
                  applications updated
 NEWS 17  MAR 31  LPCI now available as a replacement to LDPCI
 NEWS 18  MAR 31  EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 19  APR 04  STN AnaVist, Version 1, to be discontinued
 NEWS 20  APR 15  WPIDS, WPINDEX, and WPIX enhanced with new
                  predefined hit display formats
 NEWS 21  APR 28  EMBASE Controlled Term thesaurus enhanced
 NEWS 22  APR 28  IMSRESEARCH reloaded with enhancements
 NEWS 23  MAY 30  INPAFAMDB now available on STN for patent family
                  searching
 NEWS 24  MAY 30  DGENE, PCTGEN, and USGENE enhanced with new homology
                  sequence search option
 NEWS 25  JUN 06  EPFULL enhanced with 260,000 English abstracts
 NEWS 26  JUN 06  KOREAPAT updated with 41,000 documents
 NEWS 27  JUN 13  USPATFULL and USPAT2 updated with 11-character
                  patent numbers for U.S. applications
 NEWS 28  JUN 19  CAS REGISTRY includes selected substances from
                  web-based collections
 NEWS 29  JUN 25  CA/CAplus and USPAT databases updated with IPC
                  reclassification data
 NEWS 30  JUN 30  AEROSPACE enhanced with more than 1 million U.S.
                  patent records
 NEWS 31  JUN 30  EMBASE, EMBAL, and LEMBASE updated with additional
                  options to display authors and affiliated
                  organizations
 NEWS 32  JUN 30  STN on the Web enhanced with new STN AnaVist
                  Assistant and BLAST plug-in
 NEWS 33  JUN 30  STN AnaVist enhanced with database content from EPFULL

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 10:14:49 ON 13 JUL 2008

=> file medline caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'MEDLINE' ENTERED AT 10:15:09 ON 13 JUL 2008

FILE 'CAPLUS' ENTERED AT 10:15:09 ON 13 JUL 2008
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=> s weiss-?/au
L1       52003 WEISS-?/AU

=> s l1 and py=2001
L2        1976 L1 AND PY=2001

=> s l2 and metap2
L3           1 L2 AND METAP2

=> d ibib abs

L3   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2002:277764  CAPLUS
DOCUMENT NUMBER:         137:2289
TITLE:                   Microsporidian methionine aminopeptidase type 2
AUTHOR(S):               Weiss, Louis M.; Costa, Sylvia F.; Zhang,
                         Hong
CORPORATE SOURCE:        Department of Pathology, Albert Einstein College of
                         Medicine, Bronx, NY, 10461, USA
SOURCE:                  Journal of Eukaryotic Microbiology (2001),
                         (Suppl.), 88S-90S
                         CODEN: JEMIED; ISSN: 1066-5234
PUBLISHER:               Society of Protozoologists
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB   The cellular target(s) for fumagillin and its analogs in microsporidia is
     unknown, but it is probable that the antimicrosporidial activity of
     fumagillin and its derivs. is due to inhibition of a methionine
     aminopeptidase type 2 (MetAP2) homolog and that MetAP2
     is an essential enzyme for these organisms.  The authors have been able to
     demonstrate that microsporidian spore lysates have methionine
     aminopeptidase activity and by using homol. PCR have isolated a
     MetAP2 gene from Encephalitozoon hellem.
REFERENCE COUNT:         26    THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT


=> FIL STNGUIDE
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  11.01      11.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -0.80      -0.80

FILE 'STNGUIDE' ENTERED AT 10:17:37 ON 13 JUL 2008
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=> file medline caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.30      11.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -0.80
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FILE 'MEDLINE' ENTERED AT 10:20:45 ON 13 JUL 2008

FILE 'CAPLUS' ENTERED AT 10:20:45 ON 13 JUL 2008

=> s fumagillin
L4 977 FUMAGILLIN

=> s l4 and MetAP2
L5 77 L4 AND METAP2

=> dup rem l5
PROCESSING COMPLETED FOR L5
L6 52 DUP REM L5 (25 DUPLICATES REMOVED)

=> s l6 and py<=2001
L7 10 L6 AND PY<=2001

=> d ibib abs 1-10

L7 ANSWER 1 OF 10 MEDLINE on STN
ACCESSION NUMBER: 2001439776 MEDLINE
DOCUMENT NUMBER: PubMed ID: 11485930
TITLE: Methionine aminopeptidase-2 regulates human mesothelioma cell survival: role of Bcl-2 expression and telomerase activity.
AUTHOR: Catalano A; Romano M; Robuffo I; Strizzi L; Procopio A
CORPORATE SOURCE: Department of Experimental Pathology, University of Ancona, Ancona, Italy.
SOURCE: The American journal of pathology, (2001 Aug) Vol. 159, No. 2, pp. 721-31. Journal code: 0370502. ISSN: 0002-9440.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: Abridged Index Medicus Journals; Priority Journals
ENTRY MONTH: 200109
ENTRY DATE: Entered STN: 10 Sep 2001
Last Updated on STN: 10 Sep 2001
Entered Medline: 6 Sep 2001

AB Methionine aminopeptidase-2 (MetAP2) is the molecular target of the angiogenesis inhibitors, fumagillin and ovalacin. Fumagillin can also inhibit cancer cell proliferation, implying that MetAP2 may play a quite complex role in tumor progression. Here, we examined the expression and function of MetAP2 in an in vitro model of human mesothelioma. We found that mesothelioma cells expressed higher MetAP2 mRNA levels than primary normal mesothelial cells. Consistently, fumagillin induced apoptosis, owing to early mitochondrial damage, in malignant, but not in normal mesothelial cells. Transfection of mesothelioma cells with a MetAP2 anti-sense oligonucleotide determined a time-dependent inhibition of cell survival and induced nucleosome formation. Interestingly, mRNA and protein levels of the anti-apoptotic gene bcl-2 as well as telomerase activity were selectively reduced after MetAP2 inhibition in mesothelioma cells, whereas bcl-2 overexpression counteracted the effect of MetAP2 inhibition on telomerase activity and apoptosis. MetAP2 inhibition also increased caspase activity and the caspase inhibitor, zVAD-fmk, prevented fumagillin-induced apoptosis, but it did not alter telomerase

activity. These results indicate that MetAP2 is a main regulator of proliferative and apoptotic pathways in mesothelioma cells and suggest that MetAP2 inhibition may represent a potential target for therapeutic intervention in human mesothelioma.

L7 ANSWER 2 OF 10 MEDLINE on STN
ACCESSION NUMBER: 2001056253 MEDLINE
DOCUMENT NUMBER: PubMed ID: 11079802
TITLE: cis-fumagillin, a new methionine aminopeptidase (type 2) inhibitor produced by Penicillium sp. F2757.
AUTHOR: Kwon J Y; Jeong H W; Kim H K; Kang K H; Chang Y H; Bae K S; Choi J D; Lee U C; Son K H; Kwon B M
CORPORATE SOURCE: Korea Research Institute of Bioscience and Biotechnology, Yusong, Taejon, Republic of Korea.
SOURCE: The Journal of antibiotics, (2000 Aug) Vol. 53, No. 8, pp. 799-806.
Journal code: 0151115. ISSN: 0021-8820.
PUB. COUNTRY: Japan
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, NON-U.S. GOV'T)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200012
ENTRY DATE: Entered STN: 22 Mar 2001
Last Updated on STN: 22 Mar 2001
Entered Medline: 15 Dec 2000
AB Selective inhibition against the yeast MetAP2 (methionine aminopeptidase type 2) was detected in the fermentation broth of a fungus F2757 that was later identified as Penicillium janczewskii. A new compound cis-fumagillin methyl ester (1) was isolated from the diazomethane treated fermentation extracts together with the known compound fumagillin methyl ester (2). The cis-fumagillin methyl ester, a stereoisomer of fumagillin methyl ester at the C2'-C3' position of the aliphatic side chain, selectively inhibited growth of the map1 mutant yeast strain (MetAP1 deletion strain) at a concentration as low as 1 ng. However, the wild type yeast w303 and the mutant map2 (MetAP2 deleted) strains were resistant up to 10 microg of the compound. In enzyme experiments, compound 1 inhibited the MetAP2 with an IC50 value of 6.3 nM, but it did not inhibit the MetAP1 (IC50 >200 microM). Compound 2 also inhibited the MetAP2 with an IC50 value of 9.2 nM and 105 microM against MetAP1.

L7 ANSWER 3 OF 10 MEDLINE on STN
ACCESSION NUMBER: 2000300917 MEDLINE
DOCUMENT NUMBER: PubMed ID: 10841547
TITLE: Cell cycle inhibition by the anti-angiogenic agent TNP-470 is mediated by p53 and p21WAF1/CIP1.
AUTHOR: Zhang Y; Griffith E C; Sage J; Jacks T; Liu J O
CORPORATE SOURCE: Center for Cancer Research, and Departments of Biology and Chemistry, and Howard Hughes Medical Institute, Massachusetts Institute of Technology, Cambridge, MA 02139, USA.
SOURCE: Proceedings of the National Academy of Sciences of the United States of America, (2000 Jun 6) Vol. 97, No. 12, pp. 6427-32.
Journal code: 7505876. ISSN: 0027-8424.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) (RESEARCH SUPPORT, NON-U.S. GOV'T) (RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English

FILE SEGMENT: Priority Journals
ENTRY MONTH: 200007
ENTRY DATE: Entered STN: 20 Jul 2000
Last Updated on STN: 20 Jul 2000
Entered Medline: 13 Jul 2000

AB Angiogenesis has been demonstrated to be essential for tumor growth and metastasis, and inhibition of angiogenesis is emerging as a promising strategy for treating cancer. Among the most potent inhibitors of angiogenesis is the fumagillin family of natural products. An analog of fumagillin, known as TNP-470 or AGM-1470, has been undergoing clinical trials for treating a variety of cancers. TNP-470 has been shown to block endothelial cell cycle progression in the late G(1) phase. Although the direct molecular target for TNP-470 has been identified as the type 2 methionine aminopeptidase (MetAP2), how inhibition of this enzyme leads to cell cycle arrest has remained unclear. We report that treatment of endothelial and other drug-sensitive cell types leads to the activation of the p53 pathway, causing an accumulation of the G(1) cyclin-dependent kinase inhibitor p21(WAF1/CIP1). The requirement of p53 and p21(WAF1/CIP1) for the cell cycle inhibition by TNP-470 is underscored by the observation that cells deficient in p53 and p21(WAF1/CIP1) are resistant to TNP-470. These results shed significant light on the mechanism of cell cycle inhibition by TNP-470 and suggest an alternative method of activating p53 in endothelial cells to halt angiogenesis and tumor progression.

L7 ANSWER 4 OF 10 MEDLINE on STN
ACCESSION NUMBER: 2000225886 MEDLINE
DOCUMENT NUMBER: PubMed ID: 10760954
TITLE: Selective inhibition of endothelial cell proliferation by fumagillin is not due to differential expression of methionine aminopeptidases.
AUTHOR: Wang J; Lou P; Henkin J
CORPORATE SOURCE: Cancer Research, Pharmaceutical Product Division, Abbott Laboratories Abbott Park, Illinois 60064, USA.. jieyi.wang@abbott.com
SOURCE: Journal of cellular biochemistry, (2000 Apr) Vol. 77, No. 3, pp. 465-73.
Journal code: 8205768. ISSN: 0730-2312.
PUB. COUNTRY: United States
DOCUMENT TYPE: (COMPARATIVE STUDY)
Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200006
ENTRY DATE: Entered STN: 29 Jun 2000
Last Updated on STN: 29 Jun 2000
Entered Medline: 21 Jun 2000

AB The angiogenesis inhibitors fumagillin and TNP-470 selectively inhibit the proliferation of endothelial cells, as compared with most other cell types. The mechanism of this selective inhibition remains uncertain, although methionine aminopeptidase-2 (MetAP2) has recently been found to be a target for fumagillin or TNP-470, which inactivates MetAP2 enzyme activity through covalent modification. Primary cultures of human endothelial cells and six other non-endothelial cell types were treated with fumagillin to determine its effect on cell proliferation. Only the growth of endothelial cells was completely inhibited at low concentrations of fumagillin. MetAP1 and MetAP2 levels in these cells were investigated to determine whether differential enzyme expression plays a role in the selective action of fumagillin. Western blot analysis and RT-PCR data showed that MetAP1 and MetAP2 were both expressed in these different types of cells, thus, ruling out

differential expression of MetAP1 and MetAP2 as an explanation for the cell specificity of fumagillin. Expression of MetAP2, but not of MetAP1, is regulated. Treatment of human microvascular endothelial cells (HMVEC) with fumagillin resulted in threefold increases of MetAP2 protein in the cells, while MetAP1 remained constant. Similar upregulation of MetAP2 by exposure to fumagillin was also observed in non-endothelial cells, eliminating this response as an explanation for cell specificity. Taken together, these results indicate that while MetAP2 plays a critical role in the effect of fumagillin on endothelial cell proliferation, differential endogenous expression or fumagillin -induced upregulation of methionine aminopeptidases is not responsible for this observed selective inhibition.

L7 ANSWER 5 OF 10 MEDLINE on STN
ACCESSION NUMBER: 1999079987 MEDLINE
DOCUMENT NUMBER: PubMed ID: 9860943
TITLE: Molecular recognition of angiogenesis inhibitors fumagillin and ovalicin by methionine aminopeptidase 2.
AUTHOR: Griffith E C; Su Z; Niwayama S; Ramsay C A; Chang Y H; Liu J O
CORPORATE SOURCE: Center for Cancer Research, Massachusetts Institute of Technology, Cambridge, MA 02139, USA.
SOURCE: Proceedings of the National Academy of Sciences of the United States of America, (1998 Dec 22) Vol. 95, No. 26, pp. 15183-8.
Journal code: 7505876. ISSN: 0027-8424.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199901
ENTRY DATE: Entered STN: 9 Feb 1999
Last Updated on STN: 3 Mar 2000
Entered Medline: 28 Jan 1999

AB Angiogenesis inhibitors are a novel class of promising therapeutic agents for treating cancer and other human diseases. Fumagillin and ovalicin compose a class of structurally related natural products that potently inhibit angiogenesis by blocking endothelial cell proliferation. A synthetic analog of fumagillin, TNP-470, is currently undergoing clinical trials for treatment of a variety of cancers. A common target for fumagillin and ovalicin recently was identified as the type 2 methionine aminopeptidase (MetAP2). These natural products bind MetAP2 covalently, inhibiting its enzymatic activity. The specificity of this binding is underscored by the lack of inhibition of the closely related type 1 enzyme, MetAP1. The molecular basis of the high affinity and specificity of these inhibitors for MetAP2 has remained undiscovered. To determine the structural elements of these inhibitors and MetAP2 that are involved in this interaction, we synthesized fumagillin analogs in which each of the potentially reactive epoxide groups was removed either individually or in combination. We found that the ring epoxide in fumagillin is involved in the covalent modification of MetAP2, whereas the side chain epoxide group is dispensable. By using a fumagillin analog tagged with fluorescein, His-231 in MetAP2 was identified as the residue that is covalently modified by fumagillin. Site-directed mutagenesis of His-231 demonstrated its importance for the catalytic activity of MetAP2

and confirmed that the same residue is covalently modified by fumagillin. These results, in agreement with a recent structural study, suggest that fumagillin and ovalicin inhibit MetAP2 by irreversible blockage of the active site.

L7 ANSWER 6 OF 10 MEDLINE on STN
ACCESSION NUMBER: 1999001036 MEDLINE
DOCUMENT NUMBER: PubMed ID: 9784858
TITLE: Synthetic analogues of TNP-470 and ovalicin reveal a common molecular basis for inhibition of angiogenesis and immunosuppression.
AUTHOR: Turk B E; Su Z; Liu J O
CORPORATE SOURCE: Center for Cancer Research, Massachusetts Institute of Technology, Cambridge 02139, USA.
SOURCE: Bioorganic & medicinal chemistry, (1998 Aug) Vol. 6, No. 8, pp. 1163-9.
Journal code: 9413298. ISSN: 0968-0896.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199901
ENTRY DATE: Entered STN: 15 Jan 1999
Last Updated on STN: 15 Jan 1999
Entered Medline: 7 Jan 1999
AB TNP-470 (1), a synthetic derivative of the natural product fumagillin (2), potently inhibits angiogenesis in vivo and the growth of endothelial cell cultures in vitro. The structurally related natural product ovalicin (3) also inhibits angiogenesis but possesses potent immunosuppressive activity. The recent finding that all three drugs bind and inhibit the same target, methionine aminopeptidase 2 (MetAP2), raised the question of whether TNP-470 is also immunosuppressive and whether inhibition of MetAP2 underlies both activities of ovalicin. To address these questions, we synthesized a series of analogues of TNP-470 and ovalicin and tested them for their abilities to inhibit the proliferation of either endothelial cell or mixed lymphocyte cultures. TNP-470 and its analogues were found to possess both immunosuppressive and anti-angiogenic activities. A strong correlation was observed between the ability of compounds to inhibit bovine and human endothelial cell growth and their ability to inhibit the mouse mixed lymphocyte reaction (MLR), implying that the two activities share a common molecular basis, i.e., inhibition of MetAP2. Interestingly, ovalicin and several other compounds behaved differently in the human MLR than in either the mouse MLR or human endothelial cell proliferation assays, pointing to possible species-specific and cell type-specific differences in the metabolism or uptake of these compounds.

L7 ANSWER 7 OF 10 MEDLINE on STN
ACCESSION NUMBER: 97370079 MEDLINE
DOCUMENT NUMBER: PubMed ID: 9224570
TITLE: Methionine aminopeptidase (type 2) is the common target for angiogenesis inhibitors AGM-1470 and ovalicin.
AUTHOR: Griffith E C; Su Z; Turk B E; Chen S; Chang Y H; Wu Z; Biemann K; Liu J O
CORPORATE SOURCE: Center for Cancer Research, Massachusetts Institute of Technology, Department of Biology, Cambridge, MA 02139, USA.
CONTRACT NUMBER: CA09112 (United States NCI)
SOURCE: Chemistry & biology, (1997 Jun) Vol. 4, No. 6, pp. 461-71.

Journal code: 9500160. ISSN: 1074-5521.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
(RESEARCH SUPPORT, NON-U.S. GOV'T)
(RESEARCH SUPPORT, U.S. GOV'T, NON-P.H.S.)
(RESEARCH SUPPORT, U.S. GOV'T, P.H.S.)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199708
ENTRY DATE: Entered STN: 8 Sep 1997
Last Updated on STN: 3 Mar 2000
Entered Medline: 26 Aug 1997

AB BACKGROUND: Angiogenesis, the formation of new blood vessels, is essential for tumor growth. The inhibition of angiogenesis is therefore emerging as a promising therapy for cancer. Two natural products, fumagillin and ovalicin, were discovered to be potent inhibitors of angiogenesis due to their inhibition of endothelial cell proliferation. An analog of fumagillin, AGM-1470, is currently undergoing clinical trials for the treatment of a variety of cancers. The underlying molecular mechanism of the inhibition of angiogenesis by these natural drugs has remained unknown. RESULTS: Both AGM-1470 and ovalicin bind to a common bifunctional protein, identified by mass spectrometry as the type 2 methionine aminopeptidase (MetAP2). This protein also acts as an inhibitor of eukaryotic initiation factor 2alpha (elF-2alpha) phosphorylation. Both drugs potently inhibit the methionine aminopeptidase activity of MetAP2 without affecting its ability to block elF-2alpha phosphorylation. There are two types of methionine aminopeptidase found in eukaryotes, but only the type 2 enzyme is inhibited by the drugs. A series of analogs of fumagillin and ovalicin were synthesized and their potency for inhibition of endothelial cell proliferation and inhibition of methionine aminopeptidase activity was determined. A significant correlation was found between the two activities. CONCLUSIONS: The protein MetAP2 is a common molecular target for both AGM-1470 and ovalicin. This finding suggests that MetAP2 may play a critical role in the proliferation of endothelial cells and may serve as a promising target for the development of new anti-angiogenic drugs.

L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:277764 CAPLUS
DOCUMENT NUMBER: 137:2289
TITLE: Microsporidian methionine aminopeptidase type 2
AUTHOR(S): Weiss, Louis M.; Costa, Sylvia F.; Zhang, Hong
CORPORATE SOURCE: Department of Pathology, Albert Einstein College of Medicine, Bronx, NY, 10461, USA
SOURCE: Journal of Eukaryotic Microbiology (2001), (Suppl.), 88S-90S
CODEN: JEMIED; ISSN: 1066-5234
PUBLISHER: Society of Protozoologists
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The cellular target(s) for fumagillin and its analogs in microsporidia is unknown, but it is probable that the antimicrosporidial activity of fumagillin and its derivs. is due to inhibition of a methionine aminopeptidase type 2 (MetAP2) homolog and that MetAP2 is an essential enzyme for these organisms. The authors have been able to demonstrate that microsporidian spore lysates have methionine aminopeptidase activity and by using homol. PCR have isolated a MetAP2 gene from Encephalitozoon hellem.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:912925 CAPLUS
DOCUMENT NUMBER: 137:104373
TITLE: Identification of a protein interacting with type 2 methionine aminopeptidase by yeast two-hybrid system
AUTHOR(S): Liu, Weifeng; Liu, Jun
CORPORATE SOURCE: State Key Laboratory of Microbial Technology, Shandong University, Jinan, 250100, Peop. Rep. China
SOURCE: Shengwu Huaxue Yu Shengwu Wuli Xuebao (2001), 33(6), 719-722
CODEN: SHWPAU; ISSN: 0582-9879
PUBLISHER: Shanghai Kexue Jishu Chubanshe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB Type 2 methionine aminopeptidase (MetAP2) is the mol. target for the fumagillin inhibitors against angiogenesis. Used the yeast two-hybrid system with GAL4 DBD-fused MetAP2 as a bait, a human brain cDNA library was screened to isolate protein factors that might interact with MetAP2. Among the 2 x 106 transformants, five pos. clones were picked out. Sequence anal. revealed that three of them contained cDNA fragments from flotillin and encoded a carboxy terminus (starting from amino acids 145-233, resp.) of flotillin protein. The interaction between MetAP2 and flotillin detected by yeast two-hybrid system suggested that MetAP2 might play a role in some biol. processes where flotillin was involved.

L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:112763 CAPLUS
DOCUMENT NUMBER: 132:146060
TITLE: TNP-470(Takeda Chemical Industries Ltd)
AUTHOR(S): Grosios, Konstantina
CORPORATE SOURCE: Molecular Medicine Unit, University of Leeds, Leeds, LS9 7TF, UK
SOURCE: Current Opinion in Oncologic, Endocrine & Metabolic Investigational Drugs (1999), 1(5), 536-559
CODEN: COODF2; ISSN: 1464-8466
PUBLISHER: Current Drugs Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with .apprx.350 refs. TNP-470, a semisynthetic analog of fumagillin, is an angiogenesis inhibitor under development by Takeda for the potential treatment of cancer. It is being evaluated in phase II trials in the US in patients with Kaposi's sarcoma (KS) and other cancers. TNP-470 has also completed phase II trials for cervical and lung cancer and is undergoing phase I trials in patients with androgen-independent prostate cancer. Results from a phase II study showed that, following one year's treatment with TNP-470, a patient with cervical cancer and lung metastases was cleared of the disease. Trials sponsored by TAP Holdings are underway and patients with breast cancer, who have previously responded to cyclophosphamide and doxorubicin with or without fluorouracil, have been recruited at 11 sites across the US. Patients with inoperable and/or metastatic cervical cancer are being treated at three sites, those with local advanced pancreatic cancer at 12 sites. A 50-patient trial against glioblastoma multiform has completed recruitment. Three clin. trials are underway at the Dana-Farber Cancer Institute involving: children and adolescents aged 2 to 21 yr with recurrent malignant tumors unresponsive to conventional therapy; adults with high-grade brain tumors who have completed radiotherapy within 5 wk; and, a phase II trial in adults with metastatic, recurrent or inoperable renal cell carcinoma. Of the 33 patients enrolled so far in the renal cell carcinoma trial, 20 are evaluable. Stable disease has been exhibited by five patients, while one patient displayed a partial response. Phase I

trial results show that TNP-470 concns. needed for treatment can be obtained in vivo and that the drug is rapidly cleared. In an escalating dose study, the maximum tolerated dose was shown to be 177 mg/m2 and the mean peak concentration was 200 ng/mL. In animal studies, the compound was shown to inhibit a wide spectrum of tumor types in mice independent of immune status or sex with a treated/control tumor volume of 0.35. Resistance to treatment had not developed after 200 days of therapy. TNP-470, in combination with minocycline and interferon, reduces pancreatic tumor volume to 11% and capillary d. to 40%, in murine expts. In vitro administration of TNP-470 to chick embryonic chorioallantoic membranes and rat cornea inhibited blood vessel growth. Addnl., in the 'rat sponge implantation' assay, TNP-470 inhibited fibroblast growth factor-induced angiogenesis, while in cultured rat blood vessels, TNP-470 inhibited the growth of capillary-like structures but did not affect the growth of non-endothelial cell types. A combination of cisplatin and TNP-470 was tested for its ability to inhibit the growth of murine reticulum cell sarcoma implanted intradermally into C57BL/6 mice. Cisplatin (7.5 mg/kg iv) was injected every 5 days and TNP-470 (25, 50 or 100 mg/kg s.c.) every week. Average tumor vols. in the control mice were 1250 mm3 by day 26. In cisplatin-treated mice, tumor vols. were 1500 mm3 by day 35 while tumor vols. in the three combined treatment groups were 750, 250 and 250 mm3, resp. In a comparative study with cidofovir (Gilead Sciences Inc), TNP-470 significantly delayed mortality in rats infected by the murine polyoma virus, even when the onset of treatment was delayed until 9 days after birth. TNP-470 also prevents pregnancy in mice and may have the potential to act as a contraceptive in humans, as well as to treat fibroid and other benign tumors of the uterus. The target of the fumagillol (or fumagillin) analogs is thought to be methionine aminopeptidase-2 (MetAP2), an intracellular metalloproteinase which removes the N-terminal from newly-synthesized proteins. Formulations containing TNP-470 have been claimed by Takeda in for use in the treatment of cancer and metastasis. Derivs. of the compound are also claimed as angiogenesis inhibitors.

REFERENCE COUNT: 334 THERE ARE 334 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	20.32	31.84

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.40	-3.20

FILE 'STNGUIDE' ENTERED AT 10:26:10 ON 13 JUL 2008

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jul 11, 2008 (20080711/UP).

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(FILE 'HOME' ENTERED AT 10:14:49 ON 13 JUL 2008)

FILE 'MEDLINE, CAPLUS' ENTERED AT 10:15:09 ON 13 JUL 2008
L1 52003 S WEISS-?/AU
L2 1976 S L1 AND PY=2001
L3 1 S L2 AND METAP2

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     FILE 'MEDLINE, CAPLUS' ENTERED AT 10:20:45 ON 13 JUL 2008
L4          977 S FUMAGILLIN
L5           77 S L4 AND METAP2
L6           52 DUP REM L5 (25 DUPLICATES REMOVED)
L7           10 S L6 AND PY<=2001

     FILE 'STNGUIDE' ENTERED AT 10:26:10 ON 13 JUL 2008

=> s l7 and antibacter?
L6 CANNOT BE SEARCHED IN STNGUIDE
The L-number cannot be used because it does not contain a query.
Enter DISPLAY HISTORY to see the sequence of commands that created
this L-number.

=> file medline caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   0.54      32.38

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CA SUBSCRIBER PRICE                                   0.00      -3.20

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FILE 'CAPLUS' ENTERED AT 10:31:28 ON 13 JUL 2008
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=> s l7 and antibacter?
L8           0 L7 AND ANTIBACTER?

=> s l4 and antibacter?
L9          20 L4 AND ANTIBACTER?

=> dup rem l9
PROCESSING COMPLETED FOR L9
L10          19 DUP REM L9 (1 DUPLICATE REMOVED)

=> s l10 and py<=2001
L11          9 L10 AND PY<=2001

=> d ibib abs 1-9

L11  ANSWER 1 OF 9     MEDLINE on STN
ACCESSION NUMBER:   96142051     MEDLINE
DOCUMENT NUMBER:    PubMed ID: 8554085
TITLE:              Diagnosis of microsporidial keratitis by confocal
                    microscopy and the chromatrope stain.
AUTHOR:             Shah G K; Pfister D; Probst L E; Ferrieri P; Holland E
CORPORATE SOURCE:   Department of Ophthalmology, University of Minnesota
                    Hospital 55455-0501, USA.
SOURCE:             American journal of ophthalmology, (1996 Jan)
                    Vol. 121, No. 1, pp. 89-91.
                    Journal code: 0370500. ISSN: 0002-9394.
PUB. COUNTRY:       United States
DOCUMENT TYPE:      (CASE REPORTS)
                    Journal; Article; (JOURNAL ARTICLE)
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LANGUAGE: English
FILE SEGMENT: Abridged Index Medicus Journals; Priority Journals; AIDS
ENTRY MONTH: 199602
ENTRY DATE: Entered STN: 6 Mar 1996
Last Updated on STN: 6 Mar 1996
Entered Medline: 16 Feb 1996

AB PURPOSE: To illustrate the value of confocal microscopy and chromatrope stain in the diagnosis of microsporidial keratitis. METHODS: In vivo confocal microscopy was performed on a man with the human immunodeficiency virus who had severe bilateral epithelial keratitis refractory to topical antibacterial medications. The results were compared to conjunctival scrapings stained with the chromatrope-based Weber stain. RESULTS: Confocal microscopy demonstrated many small, intraepithelial opacities of the corneal epithelium, which were suggestive of Microsporidia. Results of the chromatrope stain of conjunctival scrapings confirmed the diagnosis of microsporidial keratitis. CONCLUSIONS: Rapid diagnosis allowed prompt initiation of topical fumagillin, which permitted rapid, long-term control of the symptoms of microsporidial keratitis.

L11 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:730530 CAPLUS
DOCUMENT NUMBER: 135:293950
TITLE: A self-emulsifying system combined with a polymer matrix for transmucosal and transdermal delivery
INVENTOR(S): Hong, Chung Il; Shin, Hee Jong; Ki, Min Hyo; Lee, Seok Kyu; Kweon, Don Sun
PATENT ASSIGNEE(S): Chong Kun Dang Pharmaceutical Corp., S. Korea
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072282	A1	20011004	WO 2001-KR509	20010329 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
KR 2001093728	A	20011029	KR 2001-16140	20010328 <--
US 20030129219	A1	20030710	US 2002-239529	20020923
PRIORITY APPLN. INFO.:			KR 2000-16257	A 20000329
			WO 2001-KR509	W 20010329

AB A novel pharmaceutical composition of a self-emulsifying matrix preparation, which is a preparation for transmucosal or transdermal absorption in which a self-emulsifying drug delivery system is grafted to a polymeric matrix preparation is described. For this, fatty alc., fatty acid or their derivs. of 6 to 20 carbon atoms having a drug absorption-accelerating action through the skin or mucous membrane is used as an oil phase. Also, to increase the drug content in the matrix, a liquid phase material having a b.p. of 100°C or more is used as a solution adjuvant. Using such materials, the self-emulsifying system with a surfactant is prepared A hydrophilic or hydrophobic polymer is added and dissolved in the self-emulsifying system,

and the resulting mixture is dried to prepare the matrix preparation containing the self-emulsifying system. The self-emulsifying matrix preparation thus prepared maintains a constant drug-releasing rate during its application period by virtue of its excellent stability and exhibits an extraordinarily high skin-absorption rate. For example, a self-emulsifying system was prepared using oleyl alc. 10, glycerin (1) oleic acid ester 10, diethylene glycol monoethyl ether 40, and Cremophor RH40 40 parts, resp., as an oily phase. Upon the addition of water, a self-emulsification was obtained. To 10 g of the self-emulsifying matrix prepared was added 5 g of arecoline monohydrobromide as a drug. Sixty grams of poly(ethylene oxide) was dissolved into 30 g of water and 30 g of ethanol to form a polymer solution This prepolymer solution was added to the self-emulsifying system containing the drug to give a transparent viscous solution, which was then dried at 80° for 10 min to form a self-emulsifying matrix with a thickness of 505 μm. During the process of drying, UV ray may be irradiated for 5 min, if necessary.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:736476 CAPLUS
DOCUMENT NUMBER: 131:346535
TITLE: Use of neomycin for treating angiogenesis-related diseases
INVENTOR(S): Hu, Guo-Fu; Vallee, Bert L.
PATENT ASSIGNEE(S): The Endowment for Research In Human Biology, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9958126	A1	19991118	WO 1999-US10269	19990511 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2331620	A1	19991118	CA 1999-2331620	19990511 <--
AU 9939804	A	19991129	AU 1999-39804	19990511 <--
EP 1083896	A1	20010321	EP 1999-922915	19990511 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6482802	B1	20021119	US 2000-700436	20001109
PRIORITY APPLN. INFO.:			US 1998-84921P P	19980511
			WO 1999-US10269 W	19990511

AB The present invention is directed to using neomycin or an analog thereof as a therapeutic agent to treat angiogenesis-related diseases, which are characterized by excessive, undesired or inappropriate angiogenesis or proliferation of endothelial cells. The present invention is also directed to pharmaceutical compns. comprising: (a) neomycin or an analog and, optionally, (b) another anti-angiogenic agent or an anti-neoplastic agent. The present invention is further directed to a method for

screening neomycin analogs having anti-angiogenic activity. A preferred embodiment of the invention relates to using neomycin to treat subjects having such diseases. A dose of 20 ng neomycin/embryo or higher completely inhibited angiogenin-induced angiogenesis in the chorioallantoic membrane (CAM) assay. Neomycin inhibits angiogenin-induced angiogenesis mainly through inhibition of nuclear translocation of angiogenin.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:679789 CAPLUS
DOCUMENT NUMBER: 130:32778
TITLE: The anti-angiogenic agent fumagillin covalently modifies a conserved active-site histidine in the Escherichia coli methionine aminopeptidase
AUTHOR(S): Lowther, W. Todd; McMillen, Debra A.; Orville, Allen M.; Matthews, Brian W.
CORPORATE SOURCE: Institute of Molecular Biology, Howard Hughes Medical Institute and Department of Physics, Biotechnology Laboratory, University of Oregon, Eugene, OR, 97403, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1998), 95(21), 12153-12157
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Methionine aminopeptidase (MetAP) exists in two forms (type I and type II), both of which remove the N-terminal methionine from proteins. It previously has been shown that the type II enzyme is the mol. target of fumagillin and ovalicin, two epoxide-containing natural products that inhibit angiogenesis and suppress tumor growth. By using mass spectrometry, N-terminal sequence anal., and electronic absorption spectroscopy the authors show that fumagillin and ovalicin covalently modify a conserved histidine residue in the active site of the MetAP from Escherichia coli, a type I enzyme. Because all of the key active site residues are conserved, it is likely that a similar modification occurs in the type II enzymes. This modification, by occluding the active site, may prevent the action of MetAP on proteins or peptides involved in angiogenesis. In addition, the results suggest that these compds. may be effective pharmacol. agents against pathogenic and resistant forms of E. coli and other microorganisms.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1969:55133 CAPLUS
DOCUMENT NUMBER: 70:55133
ORIGINAL REFERENCE NO.: 70:10349a,10352a
TITLE: Sensitivity of Anacystis nidulans and Chlorella as a screening test for new biologically active substances from actinomycetes
AUTHOR(S): Ivanitskaya, L. P.; Manafova, N. A.
CORPORATE SOURCE: Nauch.-Issled. Inst. Izyskaniyu Novykh Antibiot., Moscow, USSR
SOURCE: Antibiotiki (Moscow) (1968), 13(12), 1104-9
CODEN: ANTBAL; ISSN: 0003-5637
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Chlorella, like gram-pos. bacteria, was resistant to the

antibacterial antibiotics (in mg./ml.) erythromycin (2.5), levomycetin (20), gramicidin (20), polymyxin (5), streptomycin (3), ristomycin (4), penicillin (0.5 unit/ml.), monomycin (4), lincomycin (50), and tetracycline (5), whereas A. nidulans was sensitive to all but polymyxin. Chlorella was sensitive to the antineoplastic antibiotics (in mg./ ml.) rubomycin B (2) and tavromycetin (5) and insensitive to rubomycin C (50), oligomycin (2), bruneomycin (0.5), echinomycin (1), and actinomycin C (2); A. nidulans was sensitive to all these agents. Chlorella was sensitive to the fungicidal antibiotics (in mg./ml.) nystatin (0.5), trichomycin (1), candidin (5), chamycin (1), levorin (1), lagosin (0.5), antibiotic 3539 (0.5), fumagillin (50), perimycin (0.5), antibiotic 661 (1), amphotericin (0.5), fungichromin (0.5), trichothecin (0.5), griseofulvin (25), and gliotoxin (5); A. nidulans was sensitive only to gliotoxin. The sensitivity of Chlorella to antifungal antibiotics and to the 2 antitumor antibiotics and its insensitivity to antibacterial antibiotics makes it a useful, easily cultivated, indirect test organism for screening and testing biol. active substances.

L11 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1957:58569 CAPLUS
DOCUMENT NUMBER: 51:58569
ORIGINAL REFERENCE NO.: 51:10846a-d
TITLE: Antibiotic D-52 and its salts
PATENT ASSIGNEE(S): Upjohn Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 768971		19570227	GB	<--

AB Antibiotic D-52 (I) is obtained from cultures of Streptomyces caelestis (II). Flasks containing autoclaved and cooled culture medium are inoculated with an aqueous spore suspension of II and incubated at 24-8° for 48 hrs. with shaking. Portions of the medium are transferred to a series of flasks with culture medium, incubated again, and assayed. The medium is then filtered, extracted with CH_2Cl_2, concentrated in vacuo, added to Skellysolve B, and the precipitate washed and dried. The I thus obtained is stable at pH 2-7, soluble in water at pH 1-7, and 10-13, insol. at pH 7.5-9, and insol. in 6N NaOH. It is amphoteric, soluble in MeOH, $CHCl_3$, EtOAc, and CH_2Cl_2, but insol. in Et_2O and ligroine. The acid salts of I are water-soluble I, $C_{23}H_{36-40}O_9N_2S$, has an E value of 182 at 239 mμ and at 74 of 307 mμ, $[\alpha]D24 = +121.5°$ A suspension of I in liquid petrolatum shows infrared absorption at 3340, 3210, 1900, 1672, 1655, 1615, 1570, 1545, 1488, 1090, and 758 A. Spectral data are also given for I oxalate, salicylate, and hydrochloride. I and its acid addition salts have a broad antibacterial spectrum, especially against grampos. bacteria, and are useful in the treatment of plant diseases, such as fire blight in apple and pear trees.

L11 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1957:49142 CAPLUS
DOCUMENT NUMBER: 51:49142
ORIGINAL REFERENCE NO.: 51:9098f-h
TITLE: Fumagillin
PATENT ASSIGNEE(S): Abbott Laboratories
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 764710		19570102	GB	<--

AB Fumagillin (I) is produced by aerobic fermentation of a culture of Aspergillus fumigatus NRRL 2436, extracted with a suitable solvent, and purified. The inoculated culture medium is incubated for 108 hrs. at 26° with agitation and aeration. At the end of the incubation period, the liquid is filtered, the pH adjusted to 7.5-8.5, fatty materials extracted with hexane, the pH adjusted to 3, extracted with CHCl3, evaporated in vacuo, the residue dissolved in Me2CO, cooled to 5°, filtered, evaporated in vacuo under N, centrifuged, the solids washed with tert-BuOH and dried. The I thus obtained, m. 190-2°, is a white crystalline solid organic carboxylic acid, [α]D25 -27°. It contains a free carboxyl group and an ester which can be liberated by heating with dilute alkali. When hydrogenated I takes up 5 moles of H, ultraviolet absorption in EtOH shows peaks at 239, 304, 322, 335, and 351 mμ. The infrared spectrum of a 5% solution of I in CHCl shows absorption bands at 3125, 1709, 1633, 1600, 1577, 1490, 1377, 1231, 1164, 1125, 1010, and 835 cm.-1 I is specifically active against intestinal protozoa, Endameoba histolytica, and has antibacteriophage activity.

L11 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1954:47905 CAPLUS
DOCUMENT NUMBER: 48:47905
ORIGINAL REFERENCE NO.: 48:8493i,8494a-i
TITLE: Fumagillin
INVENTOR(S): Hanson, Frederick R.; Eble, Thomas E.
PATENT ASSIGNEE(S): Upjohn Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2652356		19530915	US	<--

AB Fumagillin (I) is a new antibiotic substance prepared by cultivating a fumagillin-producing strain, Aspergillus fumigatus H-3 (II), in a nutrient medium consisting of dextrin 10, NaCl 5, corn steep solids 32, and CaCO3 1 g. in sufficient water to make 1 l. at a pH adjusted to 6.7 by the addition of NaOH solution Then 1500 gals. of the dextrin-steep medium in a 2000-gal. glass-lined fermentation tank was inoculated with 75 gals. of a 48-hr. vegetative culture of II. The inoculated medium was incubated for 42 hrs. at 24° with stirring and aeration at a rate of 80 cu. ft./min. At the end of 42 hrs. an assay showed 170 phage units/ml. Diatomaceous filter-aid (150 lb.) was added, and the mixture was filtered through a filter press. The clarified liquid contained 26.6 mg. solids/ml. and assayed 142 phage/ml. It was extracted with 177 gals. hexane in a Podbielniak extractor. The hexane layer containing fatty material was discarded. The defatted liquid was then extracted with 155 gals. CHCl3. The CHCl3 layer was separated and contained 1190 g. of solids and 35 g. I as shown by assay. CHCl3 was removed under reduced pressure without external heating. The residual sirup was dissolved in sufficient acetone to make 3700 ml. solution The acetone solution was cooled to 5° and the small, brown precipitate was filtered off. The precipitate was washed with acetone, and the washings were added to the original filtrate to make a combined volume of 3800 ml. This solution contained 1062 g. solids having an anti-phage potency of 300 γ/mg. A 1500-ml. portion of the acetone solution was concentrated under reduced pressure at room temperature under an atmospheric of N

to a volume of 900 ml. The thick suspension was then placed in a 1-l. centrifuge cup, under N, and cooled at -30° for 18 hrs. The suspension was then centrifuged for 1 hr. at 1500-1700 r.p.m. The supernatant liquid was decanted from the solids which were then washed 5 times at room temperature with several 1525-ml. portions of tert-BuOH. The residue was dried at room temperature and weighed 22.2 g. It was recrystd. from 500 ml. of a mixture of equal parts MeOH and water and yielded 19.8 g. of a white, crystalline solid, m. 190-1° (capillary tube) and 189-194° (Kopfler block). It has a pK of 6.5 and is optically active, [α]25D of -26.6° (0.25% in MeOH). It is an organic carboxylic acid with an addnl. alkoxyl group and has the approx. empirical formula C27H36O7. The mol. weight as calculated from its neutral equivalent is 475 and as calculated from the alkoxyl determination is 488. It gives no FeCl3 or Millon's test. The Salkowski sterol test is questionably positive. The Lieberman-Burchard test and Legal's test are neg. The ultraviolet absorption spectrum shows peaks at 239, 304 (flex), 332 (flex), 336, and 351 mμ with "k" values of 7.52 at 239 mμ, 147.8 at 336 mμ, and 136.4 at 351 mμ, which indicatet he presence of a conjugated double-bond system composed of at least 3 and possibly 4 double bonds. The infrared spectrum shows bands at 3120, 1714, 1632, 1997, 1576, 1491, 1377, 1230, 1163, 1124, 1013, and 838 mμ. I (500 mg.) in 500 ml. C6H6 was treated with an excess of diazomethane dissolved in anhydrous Et2O. The mixture was cooled to about 5° for 30 min. and then allowed to stand at room temperature for an addnl. 2 hrs., Et2O and C6H6 were removed under reduced pressure. The residue was dissolved in 70 ml. MeOH and diluted with 30 ml. water. Upon cooling to 5° the crystalline methyl ester of I separated and was collected to yield 370 mg., m. 145-7°. Ultraviolet absortion spectrum showed peaks at 238.5, 336, and 352 mμ. A solution of 100 mg. I in a mixture of 2.0 ml. CHCl3 and 0.3 ml. CCl4 was treated by the dropwise addition at room temperature, with stirring, of 5.0 ml. of a 5% solution of Br in CCl4. The solvents were removed by evaporation in a current of air at room temperature, and the residue was dissolved in 20 ml. MeOH to which 5 ml. of water was added. Upon cooling to 5°, 110 mg. of yellow crystals of I octabromide, m. 118-122° (Kopfler block), was obtained. A solution of 100 mg. I in 15 ml. EtOH was treated with 75 mg. of 2,4-dinitrophenylhydrazine and heated to boiling. Concentrated HCl (1 ml.) was added, and the solution was heated under reflux for 5 min. Upon cooling overnight 22 mg. I bis(2,4-dinitrophenylhydrazone), m. 123-6° (Kopfler) was deposited. Ultraviolet and infrared absorption spectra showed presence of bands of 3285, 3100, 1618, 1596, 1505, and 1520 cm-1 indicative of 2,4-dinitrophenylhydrazones, and an addnl. band at 1710 cm-1. indicative of the carbonyl group. I is effective against viruses and has antibacteriophage activity. In vitro it is effective against Micrococcus pyogenes var. aureus bacteriophage and Endamoeba histolytica. It is useful in the treatment of infections in man and in animals. Cf. C.A. 44, 8604f.

L11 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	1953:35162 CAPLUS
DOCUMENT NUMBER:	47:35162
ORIGINAL REFERENCE NO.:	47:5987e-f
TITLE:	Comparative action of selected amebicidal agents and antibiotics against several species of human intestinal amebas
AUTHOR(S):	Balamuth, Wm.
CORPORATE SOURCE:	Northwestern Univ., Evanston, IL
SOURCE:	American Journal of Tropical Medicine and Hygiene (1953), 2, 191-205

CODEN: AJTHAB; ISSN: 0002-9637
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Emetine, vioform, carbarsone oxide, C.C. Number 914 (a dithio derivative of the latter), prodigiosin, and aureomycin were tested in vitro against Endamoeba histolytica, E. coli, Dientamoeba fragilis, and Endolimax nana. E. histolytica was 25 times more susceptible to the amebicidal action of emetine than the other species and monobacterial cultures were more susceptible than mixed ones. Prodigiosin, carbarsone oxide, and C.C. Number 914 exhibited the broadest activity spectra, while aureomycin had relatively little amebicidal activity. Fumagillin is the most potent amebicide in vitro yet discovered.

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(FILE 'HOME' ENTERED AT 10:14:49 ON 13 JUL 2008)

FILE 'MEDLINE, CAPLUS' ENTERED AT 10:15:09 ON 13 JUL 2008
L1 52003 S WEISS-?/AU
L2 1976 S L1 AND PY=2001
L3 1 S L2 AND METAP2

FILE 'STNGUIDE' ENTERED AT 10:17:37 ON 13 JUL 2008

FILE 'MEDLINE, CAPLUS' ENTERED AT 10:20:45 ON 13 JUL 2008
L4 977 S FUMAGILLIN
L5 77 S L4 AND METAP2
L6 52 DUP REM L5 (25 DUPLICATES REMOVED)
L7 10 S L6 AND PY<=2001

FILE 'STNGUIDE' ENTERED AT 10:26:10 ON 13 JUL 2008

FILE 'MEDLINE, CAPLUS' ENTERED AT 10:31:28 ON 13 JUL 2008
L8 0 S L7 AND ANTIBACTER?
L9 20 S L4 AND ANTIBACTER?
L10 19 DUP REM L9 (1 DUPLICATE REMOVED)
L11 9 S L10 AND PY<=2001

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